

## EAST Search History

| Ref # | Hits | Search Query                      | DBs                                    | Default Operator | Plurals | Time Stamp       |
|-------|------|-----------------------------------|--|------------------|---------|------------------|
| L1    | 4    | ((("6103720") or ("6057290")).PN. | US-PGPUB;<br>USPAT;<br>EPO;<br>DERWENT | OR               | OFF     | 2006/11/22 12:18 |
| L2    | 421  | (544/173).CCLS.                   | US-PGPUB;<br>USPAT;<br>EPO;<br>DERWENT | OR               | OFF     | 2006/11/22 12:19 |
| L3    | 453  | (514/231.2).CCLS.                 | US-PGPUB;<br>USPAT;<br>EPO;<br>DERWENT | OR               | OFF     | 2006/11/22 12:19 |
| L4    | 236  | (514/239.5).CCLS.                 | US-PGPUB;<br>USPAT;<br>EPO;<br>DERWENT | OR               | OFF     | 2006/11/22 12:20 |

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1600RXA

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

|              |    |        |  |
|--------------|----|--------|--|
| NEWS         | 1  |        | Web Page URLs for STN Seminar Schedule - N. America  |
| NEWS         | 2  |        | "Ask CAS" for self-help around the clock   |
| NEWS         | 3  | AUG 09 | INSPEC enhanced with 1898-1968 archive   |
| NEWS         | 4  | AUG 28 | ADISCTI Reloaded and Enhanced  |
| NEWS         | 5  | AUG 30 | CA(SM)/CAPLUS(SM) Austrian patent law changes  |
| NEWS         | 6  | SEP 11 | CA/CAPLUS enhanced with more pre-1907 records  |
| NEWS         | 7  | SEP 21 | CA/CAPLUS fields enhanced with simultaneous left and right truncation  |
| NEWS         | 8  | SEP 25 | CA(SM)/CAPLUS(SM) display of CA Lexicon enhanced   |
| NEWS         | 9  | SEP 25 | CAS REGISTRY(SM) no longer includes Concord 3D coordinates   |
| NEWS         | 10 | SEP 25 | CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine   |
| NEWS         | 11 | SEP 28 | CEABA-VTB classification code fields reloaded with new classification scheme   |
| NEWS         | 12 | OCT 19 | LOGOFF HOLD duration extended to 120 minutes   |
| NEWS         | 13 | OCT 19 | E-mail format enhanced   |
| NEWS         | 14 | OCT 23 | Option to turn off MARPAT highlighting enhancements available  |
| NEWS         | 15 | OCT 23 | CAS Registry Number crossover limit increased to 300,000 in multiple databases   |
| NEWS         | 16 | OCT 23 | The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded   |
| NEWS         | 17 | OCT 30 | CHEMLIST enhanced with new search and display field  |
| NEWS         | 18 | NOV 03 | JAPIO enhanced with IPC 8 features and functionality   |
| NEWS         | 19 | NOV 10 | CA/CAPLUS F-Term thesaurus enhanced  |
| NEWS         | 20 | NOV 10 | STN Express with Discover! free maintenance release Version 8.01c now available  |
| NEWS         | 21 | NOV 13 | CA/CAPLUS pre-1967 chemical substance index entries enhanced with preparation role   |
| NEWS         | 22 | NOV 20 | CAS Registry Number crossover limit increased to 300,000 in additional databases   |
| NEWS         | 23 | NOV 20 | CA/CAPLUS to MARPAT accession number crossover limit increased to 50,000   |
| NEWS         | 24 | NOV 20 | CA/CAPLUS patent kind codes will be updated  |
| NEWS EXPRESS |    |        | NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006. |
| NEWS HOURS   |    |        | STN Operating Hours Plus Help Desk Availability  |
| NEWS LOGIN   |    |        | Welcome Banner and News Items  |
| NEWS IPC8    |    |        | For general information regarding STN implementation of IPC 8  |
| NEWS X25     |    |        | X.25 communication option no longer available  |

Enter NEWS followed by the item number or name to see news on that specific topic.

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\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 11:32:05 ON 22 NOV 2006

=> fil reg

COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |

FULL ESTIMATED COST

|      |      |
|------|------|
| 0.63 | 0.63 |
|------|------|

FILE 'REGISTRY' ENTERED AT 11:33:32 ON 22 NOV 2006

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 NOV 2006 HIGHEST RN 913812-85-8

DICTIONARY FILE UPDATES: 21 NOV 2006 HIGHEST RN 913812-85-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

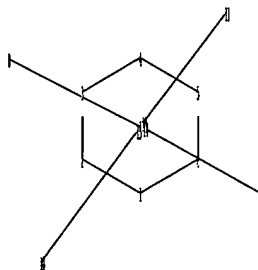
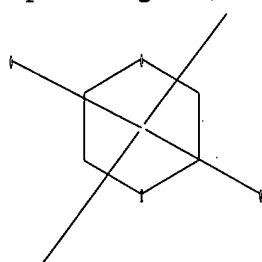
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\QUERIES\10509253.str



chain nodes :

8 9 10 11

ring nodes :

1 2 3 4 5 6

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

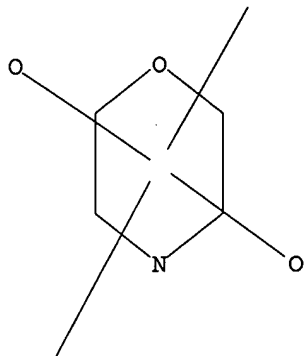
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:33:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 26770 TO ITERATE

7.5% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 525613 TO 545187  
PROJECTED ANSWERS: 48 TO 486

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 11:34:00 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 535473 TO ITERATE

100.0% PROCESSED 535473 ITERATIONS  
SEARCH TIME: 00.00.03

70 ANSWERS

L3 70 SEA SSS FUL L1

=> s l3 and caplus/lc

52721328 CAPLUS/LC

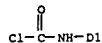
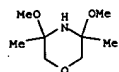
L4 68 L3 AND CAPLUS/LC

=> s l3 not l4

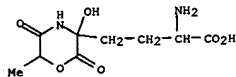
L5 2 L3 NOT L4

=> d l5 1-2

L5 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 29721-58-2 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN Carbamic chloride, (3,5-dimethoxy-3,5-dimethylmorpholinyl)- (9CI) (CA  
 INDEX NAME)  
 MF C9 H17 Cl N2 O4  
 CI IDS



L5 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 20276-82-8 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN 3-Morpholinebutanoic acid, α-amino-3-hydroxy-6-methyl-2,5-dioxo-  
 (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 2H-1,4-Oxazine-3-butyric acid, α-aminotetrahydro-3-hydroxy-6-methyl-  
 2,5-dioxo- (8CI)  
 MF C9 H14 N2 O6



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> fil caplus  
COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 177.70     | 178.33  |

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:37:22 ON 22 NOV 2006  
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FILE COVERS 1907 - 22 Nov 2006 VOL 145 ISS 22  
FILE LAST UPDATED: 21 Nov 2006 (20061121/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> d his

(FILE 'HOME' ENTERED AT 11:32:05 ON 22 NOV 2006)

FILE 'REGISTRY' ENTERED AT 11:33:32 ON 22 NOV 2006

|    |                       |
|----|-----------------------|
| L1 | STRUCTURE UPLOADED    |
| L2 | 1 S L1                |
| L3 | 70 S L1 FULL          |
| L4 | 68 S L3 AND CAPLUS/LC |
| L5 | 2 S L3 NOT L4         |

FILE 'CAPLUS' ENTERED AT 11:37:22 ON 22 NOV 2006

=> s l4

L6 35 L4

=> d ibib abs hitstr 1-35

L6 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1051489 CAPLUS

DOCUMENT NUMBER: 142:176779

TITLE: Preparation of enantiopure butane-2,3-diacetals of glycolic acid and alkylation reactions leading to  $\alpha$ -hydroxy acid and amide derivatives  
Ley, Steven V.; Diez, Elena; Dixon, Darren J.; Guy, Richard T.; Michel, Patrick; Natrass, Gillian L.; Sheppard, Tom D.

CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK  
SOURCE: Organic & Biomolecular Chemistry (2004), 2(24), 3608-3617

CODEN: OBCRAK; ISSN: 1477-0520

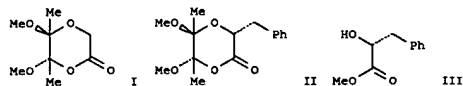
PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:176779

GI



AB The preparation of butane 2,3-diacetal protected glycolic acid and related systems is described together with highly selective alkylation reactions of (R,R) and (S,S)-butane diacetal protected glycolic acid. These compds.

are readily deprotected to give enantiopure  $\alpha$ -hydroxy acids,  $\alpha$ -hydroxy esters or  $\alpha$ -hydroxy amides by suitable choice of conditions. The stereoselective synthesis of (5S,6S)-5,6-dimethoxy-5,6-dimethyl-1,4-dioxan-2-one (acetal) (I) was reported. The stereoselective alkylation of I with (bromomethyl)benzene gave (3R,5S,6S)-5,6-dimethoxy-5,6-dimethyl-3-(phenylmethyl)-1,4-dioxan-2-one (II). Ring opening and deprotection of II gave (4R)- $\alpha$ -hydroxybenzenepropanoic acid Me ester (III).

IT 403670-53-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of (-)-di(methoxy)tri(methyl)-3-morpholinone using (hydroxy)propanamide and di(methoxy)butadiene as starting materials)

RN 403670-53-1 CAPLUS

CN 3-Morpholinone, 5,6-dimethoxy-2,5,6-trimethyl-, (2S,5S,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:626640 CAPLUS

DOCUMENT NUMBER: 141:314593

TITLE: The preparation and alkylation of a butanedione-derived chiral glycine equivalent and its use for the synthesis of  $\alpha$ -amino acids and  $\alpha,\alpha$ -disubstituted amino acids  
Harding, Christopher I.; Dixon, Darren J.; Ley, Steven

CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK  
SOURCE: Tetrahedron (2004), 60(35), 7679-7692

CODEN: TETRAB; ISSN: 0040-4020

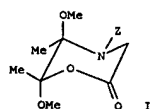
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:314593

GI



AB Benzoyloxycarbonyl (Z)-protected glycine equivalent I has been prepared in enantiopure form and has been used in the synthesis of both  $\alpha$ -substituted amino acids and  $\alpha,\alpha$ -disubstituted amino acids. The process involved deprotonation to form the corresponding enolates which underwent stereoselective alkylation with various electrophiles and upon hydrolysis gave the corresponding amino acid deriva. as enantiomerically pure products.

IT 565234-15-3P 565234-16-4P 565234-17-5P

565234-18-6P 565234-19-7P 565234-20-0P

565234-27-7P 763101-44-6P 763101-45-7P

763101-49-1P 763101-51-5P 763101-62-0P

763101-64-0P 763101-66-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

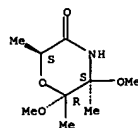
(preparation and alkylation of butanedione-derived chiral glycine equivalent for synthesis of  $\alpha$ -amino acids)

RN 565234-15-3 CAPLUS

CN 4-Morpholinecarboxylic acid, 6-(bromomethyl)-2,3-dimethoxy-2,3-dimethyl-, phenylmethyl ester, (2S,3R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

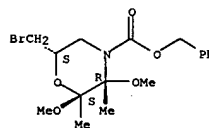
L6 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

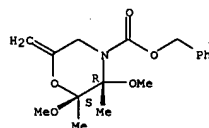
L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 565234-16-4 CAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-methylene-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

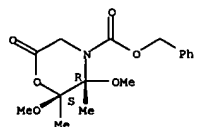
Absolute stereochemistry. Rotation (+).



RN 565234-17-5 CAPLUS

CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

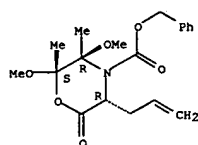
Absolute stereochemistry.



RN 565234-18-6 CAPLUS

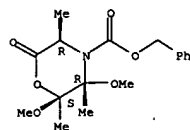
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



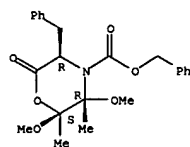
RN 565234-19-7 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 565234-20-0 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

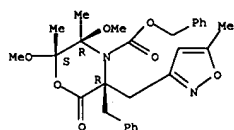


RN 565234-27-7 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

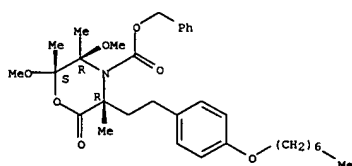
L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-[(5-methyl-3-isoxazolyl)methyl]-6-oxo-5-phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



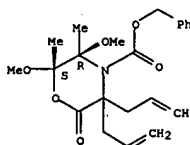
RN 763101-51-5 CAPLUS  
CN 4-Morpholinecarboxylic acid, 5-[2-[(4-heptyloxy)phenyl]ethyl]-2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

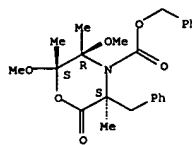


RN 763101-62-8 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5,5-di-2-propenyl-phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

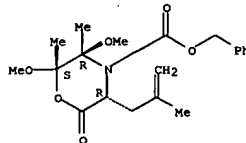


RN 763101-64-0 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-methyl-2-propenyl)-6-oxo-5-(2-propenyl)-phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)



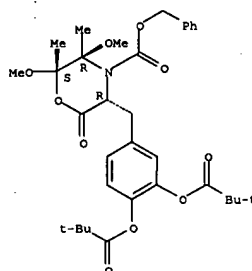
RN 763101-44-6 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-methyl-2-propenyl)-6-oxo-5-phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



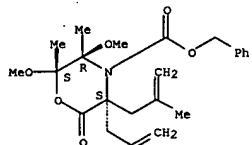
RN 763101-45-7 CAPLUS  
CN 4-Morpholinecarboxylic acid, 5-[[3,4-bis(2,2-dimethyl-1-oxopropoxy)phenyl]methyl]-2,3-dimethoxy-2,3-dimethyl-6-oxo-5-phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



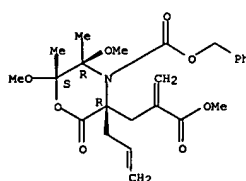
RN 763101-49-1 CAPLUS

Absolute stereochemistry. Rotation (+).



RN 763101-66-2 CAPLUS  
CN 3-Morpholinepropanoic acid, 5,6-dimethoxy-5,6-dimethyl-α-methylene-2-oxo-4-[(phenylmethoxy)carbonyl]-3-(2-propenyl)-methyl ester, (3R,5R,6S)- (9CI) (CA INDEX NAME)

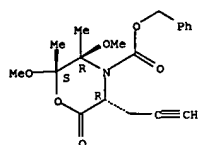
Absolute stereochemistry. Rotation (+).



IT 565234-21-1P 565234-22-2P 565234-23-3P  
565234-24-4P 565234-25-5P 565234-26-6P  
763101-43-5P 763101-46-8P 763101-48-0P  
763101-53-7P 763101-54-8P 763101-56-0P  
763101-58-2P 763101-60-6P 845509-60-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and alkylation of butanedione-derived chiral glycine equivalent for synthesis of α-amino acids)  
RN 565234-21-1 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propenyl)-phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

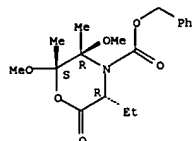
Absolute stereochemistry. Rotation (+).





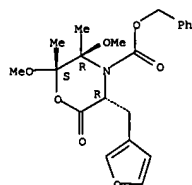
RN 565234-22-2 CAPLUS  
CN 4-Morpholinecarboxylic acid, 5-ethyl-2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



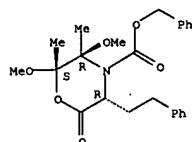
RN 565234-23-3 CAPLUS  
CN 4-Morpholinecarboxylic acid, 5-(3-furanylmethyl)-2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



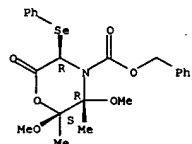
RN 565234-24-4 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-naphthalenylmethyl)-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



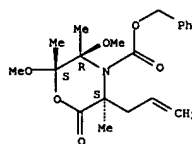
RN 763101-46-8 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(phenyliseleno)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



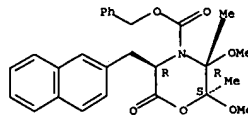
RN 763101-48-0 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



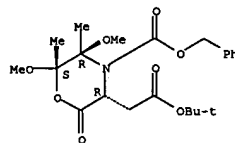
RN 763101-53-7 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-[(5-methyl-3-isoxazolyl)methyl]-6-oxo-, phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



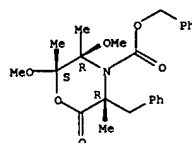
RN 565234-25-5 CAPLUS  
CN 3-Morpholineacetic acid, 5,6-dimethoxy-5,6-dimethyl-2-oxo-4-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester, (3R,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



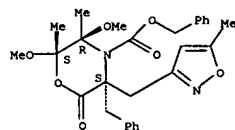
RN 565234-26-6 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-(phenylmethyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



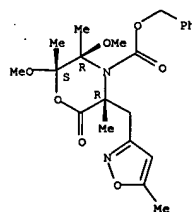
RN 763101-43-5 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-phenylethyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



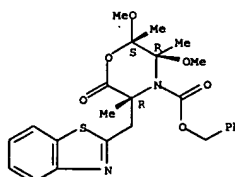
RN 763101-54-8 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-5-[(5-methyl-3-isoxazolyl)methyl]-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 763101-56-0 CAPLUS  
CN 4-Morpholinecarboxylic acid, 5-(2-benzothiazolylmethyl)-2,3-dimethoxy-2,3,5-trimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

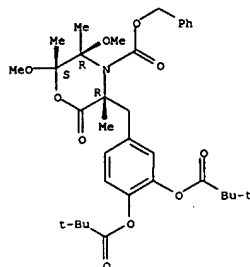
Absolute stereochemistry. Rotation (+).



RN 763101-58-2 CAPLUS

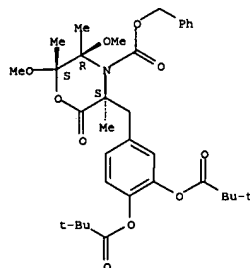
L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CN 4-Morpholinecarboxylic acid, 5-[[[3,4-bis(2,2-dimethyl-1-oxopropoxy)phenyl]methyl]-2,3-dimethoxy-2,3,5-trimethyl-6-oxo-phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 763101-60-6 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 5-[[[3,4-bis(2,2-dimethyl-1-oxopropoxy)phenyl]methyl]-2,3-dimethoxy-2,3,5-trimethyl-6-oxo-phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

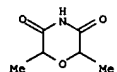
Absolute stereochemistry. Rotation (+).



RN 845509-60-6 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-phenylmethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

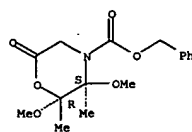
Absolute stereochemistry.

L6 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:202747 CAPLUS  
 DOCUMENT NUMBER: 142:176721  
 TITLE: Product subclass 2: one oxygen and one nitrogen or phosphorus atom  
 AUTHOR(S): Ulrich, H.  
 CORPORATE SOURCE: Guilford, CT, 06437, USA  
 SOURCE: Science of Synthesis (2004), 17, 55-115  
 CODEN: SSCYJ9  
 PUBLISHER: Georg Thieme Verlag  
 DOCUMENT TYPE: Journal: General Review  
 LANGUAGE: English  
 AB A review. Methods for preparing six-membered heteroatoms containing two unlike heteroatoms selected from O, N, or P are reviewed including cyclization, ring transformation, aromatization, and substituent modification.  
 IT 4430-01-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of six-membered heteroatoms containing two unlike heteroatoms selected from O, N, or P via cyclization, ring transformation, aromatization, and substituent modification)  
 RN 4430-01-7 CAPLUS  
 CN 3,5-Morpholinedione, 2,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



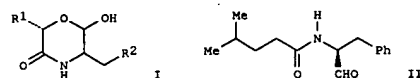
REFERENCE COUNT: 214 THERE ARE 214 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



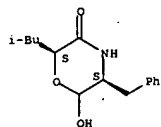
REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:923403 CAPLUS  
 DOCUMENT NUMBER: 140:181396  
 TITLE: Novel 6-Hydroxy-3-morpholinones as cornea permeable calpain inhibitors  
 AUTHOR(S): Nakamura, Masayuki; Miyashita, Hiroyuki; Yamaguchi, Masazumi; Shirasaki, Yoshihisa; Nakamura, Yoshikuni; Inoue, Jun  
 CORPORATE SOURCE: Research Laboratory, Senju Pharmaceutical Co., Ltd., Kobe, 651-2241, Japan  
 SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(24), 5449-5460  
 CODEN: BMCECP; ISSN: 0968-0896  
 PUBLISHER: Elsevier Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 140:181396  
 GI



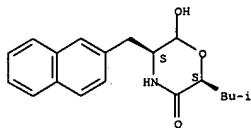
AB A novel series of 6-hydroxy-3-morpholinones I (R1 = Me2CH, Me2CHCH2, PhCH2; R2 = Ph, PhCH2, 2-naphthyl, 4-MeOC6H4, 4-BuOC6H4, 4-(cyclohexylmethyl)phenyl), in which the functional aldehyde and the hydroxy group of P2 site form a cyclic hemiacetal, was identified as calpain inhibitors. The placement of iso-Bu group at the 2-position of the 3-morpholinone (R1) was the most effective modification for inhibiting  $\mu$ - and m-calpains. Substitutions of benzyl at the 5-position in the S-configuration had virtually no effect on inhibitory activity. Several compds. showed appreciable selectivity for calpains over cathepsin B.  
 NMR expts. demonstrated that (S,S)-I (R1 = Me2CHCH2; R2 = Ph) (SNJ-1757) was more stable to nucleophilic attack than the corresponding aldehyde inhibitor II. Furthermore, (S,S)-I (R1 = Me2CHCH2; R2 = Ph) proved to have better corneal permeability than II in an in vitro experiment  
 IT 611209-71-3P, SNJ 1757 611209-73-5P 611209-75-7P  
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation, water solubility and calpain inhibiting activity of amino acid-derived chiral (hydroxy)oxazinones)  
 RN 611209-71-3 CAPLUS  
 CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



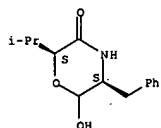
RN 611209-73-5 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(2-naphthalenylmethyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 611209-75-7 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2-(1-methylethyl)-5-(phenylmethyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

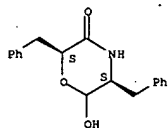
Absolute stereochemistry.



IT 611209-72-4P 611209-74-6P 611209-76-8P  
611209-77-9P 611209-78-0P 611209-79-1P  
611209-80-4P 611209-81-5P  
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation, water solubility and calpain inhibiting activity of amino acid-derived chiral (hydroxy)oxazinones)

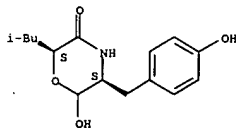
RN 611209-72-4 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(2-phenylethyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



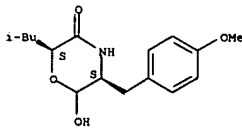
RN 611209-78-0 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-5-[(4-hydroxyphenyl)methyl]-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



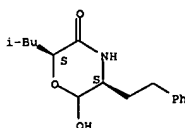
RN 611209-79-1 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-5-[(4-methoxyphenyl)methyl]-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



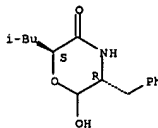
RN 611209-80-4 CAPLUS  
CN 3-Morpholinone, 5-[(4-butoxyphenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



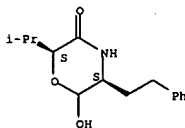
RN 611209-74-6 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylmethyl)-, (2S,5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



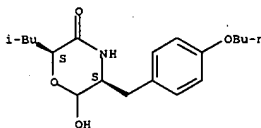
RN 611209-76-8 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2-(1-methylethyl)-5-(2-phenylethyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



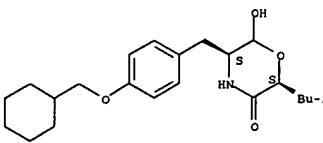
RN 611209-77-9 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2,5-bis(phenylmethyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



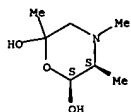
RN 611209-81-5 CAPLUS  
CN 3-Morpholinone, 5-[[4-(cyclohexylmethoxy)phenyl]methyl]-6-hydroxy-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:850930 CAPLUS  
 DOCUMENT NUMBER: 140:94174  
 TITLE: Reaction of Chloroacetone with Cytisine and d-Pseudoephedrine Alkaloids  
 AUTHOR(S): Nurkenov, O. A.; Gazaliev, A. M.; Turdybekov, K. M.; Bukeeva, A. B.; Kulakov, I. V.  
 CORPORATE SOURCE: Institute of Organic Synthesis and Coal Chemistry, Ministry of Education and Science of Kazakhstan, Karaganda, Kazakhstan  
 SOURCE: Russian Journal of General Chemistry (Translation of Zhurnal Obshchei Khimii) (2003), 73(6), 961-963  
 CODEN: RJGCEK; ISSN: 1070-3632  
 PUBLISHER: MAIK Nauka/Interperiodica Publishing  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 140:94174  
 AB Alkylation of cytosine and d-pseudoephedrine alkaloids with chloroacetone was performed. The target product of the reaction with cytosine is aminoacetone and of the reaction with d-pseudoephedrine, a morpholine derivative  
 IT 643001-06-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (reaction of chloroacetone with cytosine and d-pseudoephedrine alkaloids)  
 RN 643001-06-3 CAPLUS  
 CN 2,6-Morpholinediol, 2,4,5-trimethyl-, (5S,6S)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

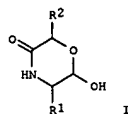


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:796676 CAPLUS  
 DOCUMENT NUMBER: 139:307776  
 TITLE: Preparation of 6-hydroxy-3-morpholinone derivatives as calpain inhibitors  
 INVENTOR(S): Nakamura, Masayuki; Inoue, Jun  
 PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 74 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

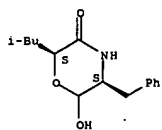
| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2003082837          | A1   | 20031009 | WO 2003-JP3910  | 20030327   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LA, LB, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| AU 2003236180          | A1   | 20031013 | AU 2003-236180  | 20030327   |
| EP 1491537             | A1   | 20041229 | EP 2003-745432  | 20030327   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |            |
| US 2005176704          | A1   | 20050811 | US 2003-509253  | 20030327   |
| CN 1656084             | A  | 20050817 | CN 2003-812270  | 20030327   |
| PRIORITY APPLN. INFO.: |  |          | JP 2002-97186   | A 20020329 |
|                        |  |          | JP 2002-97176   | A 20020329 |
|                        |  |          | WO 2003-JP3910  | W 20030327 |

OTHER SOURCE(S): MARPAT 139:307776  
 GI



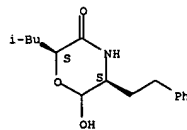
AB Comps. represented by the following general formula (I) (wherein R1 and R2 each represents optionally substituted lower alkyl) or salts thereof are prepared. The comps. I or salts thereof have potent calpain inhibitory

L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 activity and are useful for the treatment and prevention of calpain-related diseases such as ischemia, immune diseases, Alzheimer's disease, osteoporosis, diseases caused by brain tissue disorders, cataract, glaucoma, retinohoroidal disease, posterior eye complex caused by photocoagulation, and diseases accompanied by neovascularization. Thus, (1S)-1-(2-dioxolanyl)-2-phenylethylamine 15, L-leucic acid 10, 1-hydroxybenzotriazole 12, and Et3N 8.6 g were dissolve din 120 mL DMF, treated with a suspension of 16 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in 40 mL CH2Cl2 under ice-cooling, and stirred at room temp. for 18 h to give, after workup and crystn. from EtOAc, 75% (2S)-N-[(1S)-1-(2-dioxolanyl)-2-phenylethyl]-2-hydroxy-4-methylpentanamide (II). To a soln. of 2.0 g II in 150 mL THF was added 150 mL aq. HCl and stirred at room temp. for 18 h followed by workup and purifn. using HPLC (YMC-Pack ODS-A column) to give 29% (2S,5S)-5-benzyl-6-hydroxy-2-(2-methylpropyl)-3-morpholinone (III). III and (2S,5S)-5-(4-biphenylmethyl)-6-hydroxy-2-(2-methylpropyl)-3-morpholinone showed IC50 of 0.70 and 0.25 μM against μ-calpain, resp., and 0.93 and 0.36 μM against m-calpain, resp. Pharmaceutical formulations, e.g. an injection soln. contg. III, were described.  
 IT 611209-71-3P 611209-72-4P 611209-73-5P 611209-74-6P 611209-75-7P 611209-76-8P 611209-77-9P 611209-78-0P 611209-79-1P 611209-80-4P 611209-81-5P 611209-82-6P 611209-83-7P 611209-84-8P 611209-85-9P 611209-86-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 6-hydroxy-3-morpholinone derivs. as calpain inhibitors for treatment or prevention of calpain-related diseases)  
 RN 611209-71-3 CAPLUS  
 CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

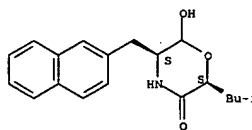


RN 611209-72-4 CAPLUS  
 CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

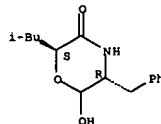
L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



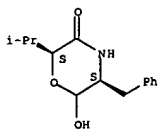
RN 611209-73-5 CAPLUS  
 CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(2-naphthalenylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



RN 611209-74-6 CAPLUS  
 CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylmethyl)-, (2S,5R)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

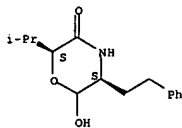


RN 611209-75-7 CAPLUS  
 CN 3-Morpholinone, 6-hydroxy-2-(1-methylethyl)-5-(phenylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



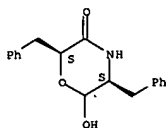
RN 611209-76-8 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2-(1-methylethyl)-5-(2-phenylethyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



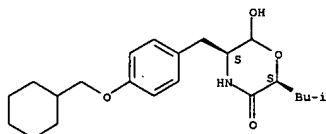
RN 611209-77-9 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2,5-bis(phenylmethyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



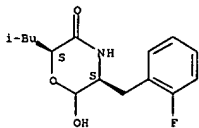
RN 611209-78-0 CAPLUS  
CN 3-Morpholinone, 5-[(4-hydroxyphenyl)methyl]-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



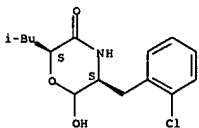
RN 611209-82-6 CAPLUS  
CN 3-Morpholinone, 5-[(2-fluorophenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



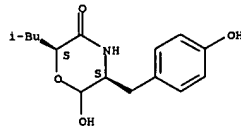
RN 611209-83-7 CAPLUS  
CN 3-Morpholinone, 5-[(2-chlorophenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



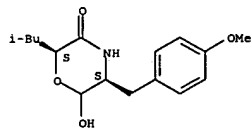
RN 611209-84-8 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-[(phenylmethoxy)methyl]-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



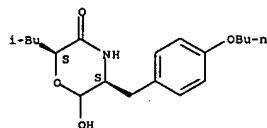
RN 611209-79-1 CAPLUS  
CN 3-Morpholinone, 6-hydroxy-5-[(4-methoxyphenyl)methyl]-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



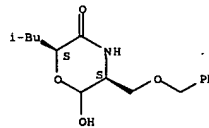
RN 611209-80-4 CAPLUS  
CN 3-Morpholinone, 5-[(4-butoxyphenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



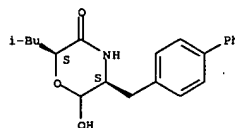
RN 611209-81-5 CAPLUS  
CN 3-Morpholinone, 5-[(4-(cyclohexylmethoxy)phenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



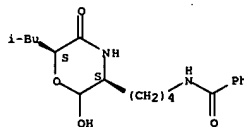
RN 611209-85-9 CAPLUS  
CN 3-Morpholinone, 5-[(1,1'-biphenyl)-4-ylmethyl]-6-hydroxy-2-(2-methylpropyl)-, (2S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 611209-86-0 CAPLUS  
CN Benzamide, N-[4-[(3S,6S)-2-hydroxy-6-(2-methylpropyl)-5-oxo-3-morpholinyl]butyl]-, (9CI) (CA INDEX NAME)

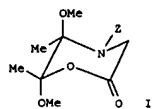
Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

ACCESSION NUMBER: 2003:107383 CAPLUS  
 DOCUMENT NUMBER: 139:117664  
 TITLE: A 2,3-butanedione protected chiral glycine equivalent  
 - a new building block for the stereoselective  
 synthesis of enantiopure N-protected  $\alpha$ -amino  
 acids

AUTHOR(S): Dixon, Darren J.; Harding, Christopher I.; Ley,  
 Steven  
 CORPORATE SOURCE: V.; Tilbrook, D. Matthew G.  
 Department of Chemistry, University of Cambridge,  
 Cambridge, CB2 1EW, UK  
 SOURCE: Chemical Communications (Cambridge, United Kingdom)  
 (2003), (4), 468-469  
 CODEN: CHCOFS; ISSN: 1359-7345  
 PUBLISHER: Royal Society of Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:117664  
 GI



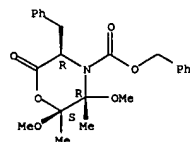
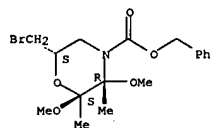
AB A new chiral glycine equivalent I (Z = benzoyloxycarbonyl) has been  
 synthesized  
 from glycidol using a chiral memory protocol and its use in the synthesis  
 of N-2 protected  $\alpha$ -amino acids was demonstrated in a series of  
 diastereoselective lithium enolate alkylation reactions and subsequent  
 acid hydrolyzes.

IT 565234-15-3P 565234-16-4P 565234-17-5P  
 565234-19-7P 565234-20-0P 565234-26-6P

565234-27-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (butanedione-protected chiral glycine equivalent as building block for  
 stereoselective synthesis of N-protected  $\alpha$ -amino acids)

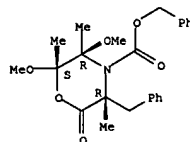
RN 565234-15-3 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 6-(bromomethyl)-2,3-dimethoxy-2,3-dimethyl-,  
 phenylmethyl ester, (2S,3R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



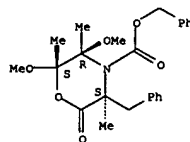
RN 565234-26-6 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-(2-phenylmethyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 565234-27-7 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-(2-phenylmethyl)-, phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



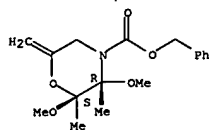
IT 565234-18-6P 565234-21-1P 565234-22-2P  
 565234-23-3P 565234-24-4P 565234-25-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (butanedione-protected chiral glycine equivalent as building block for  
 stereoselective synthesis of N-protected  $\alpha$ -amino acids)

RN 565234-18-6 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

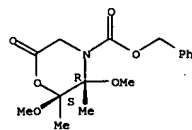
RN 565234-16-4 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-methylene-,  
 phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



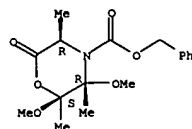
RN 565234-17-5 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-,  
 phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



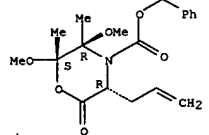
RN 565234-19-7 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-,  
 phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



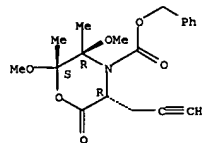
RN 565234-20-0 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(phenylmethyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



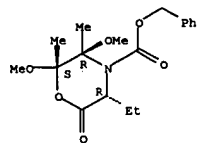
RN 565234-21-1 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



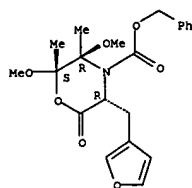
RN 565234-22-2 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 5-ethyl-2,3-dimethoxy-2,3-dimethyl-6-oxo-,  
 phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



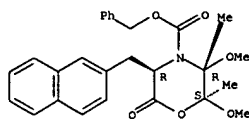
RN 565234-23-3 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 5-(3-furanylmethyl)-2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



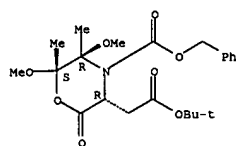
RN 565234-24-4 CAPLUS  
CN 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-naphthalenylmethyl)-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



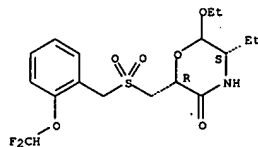
RN 565234-25-5 CAPLUS  
CN 3-Morpholineacetic acid, 5,6-dimethoxy-5,6-dimethyl-2-oxo-4-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester, (3R,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

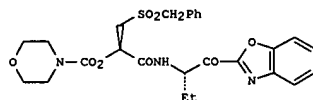
L6 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
COCF2CONR52, COCONR5R6, COCO2R5, COCH2OR5, COCH2NR6SO2R5, or COCOR5;  
where  
R5 is H or (un)substituted alkyl; R6 is H, OH or NR5R6 is a ring; R7 is  
alkyl and R8 is OH or CR7R8 are oxo; R16 is H, X4, CF3, NR6OR6, etc.; X4  
comprises a heteromono- or -bicyclic ring; R1 = H, alkyl; R2 = H, cyano;  
R2 = H, cyano, -X5-NR122, -X5-NR12COR12, etc., where X5 is a bond or  
alkylene and R12 is H, alkyl, or haloalkyl; or CR1R2 may form a ring; R4  
alkylene-NR122, alkylene-NR12-COR12, etc.; X6 = -X5-NR122, -X5-NR12COR12,  
etc.; R15 = H, alkyl; R17, R18 = (un)substituted alkyl (with provisos)  
and their pharmaceutically acceptable salts and N-oxides as selective  
cathepsin S inhibitors for use as therapeutic agents. Thus, ester I was  
prepd. via amide coupling reaction and showed K<sub>i</sub> .ltorsim. 0.01 μM for  
inhibition of cathepsin S.  
IT 477938-64-OP  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(Preparation of amide compds. and compns. as selective cathepsin S  
inhibitors)  
RN 477938-64-0 CAPLUS  
CN 3-Morpholinone,  
2-[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-6-  
ethoxy-5-ethyl-, (2R,5S)- (9CI) (CA INDEX NAME)  
Absolute stereochemistry.



L6 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
ACCESSION NUMBER: 2002:946262 CAPLUS  
DOCUMENT NUMBER: 138:24946  
TITLE: Preparation of amide compounds and compositions as  
selective cathepsin S inhibitors  
INVENTOR(S): Graupe, Michael; Li, Jiayao; Link, John O.; Zipfel,  
Sheila; Timm, Andreas P.; Aldous, David J.;  
Thuraiatnam, Sukanthini  
PATENT ASSIGNEE(S): Akys Pharmaceuticals, Inc., USA; Aventis  
Pharmaceuticals Inc.  
SOURCE: PCT Int. Appl., 196 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

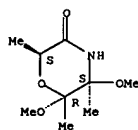
| PATENT NO.            | KIND   | DATE     | APPLICATION NO. | DATE       |
|-----------------------|--|----------|-----------------|------------|
| WO 2002098850         | A2   | 20021212 | WO 2002-US17411 | 20020603   |
| WO 2002098850         | A3   | 20030424 |                 |            |
| W:                    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                   | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2448418            | AA   | 20021212 | CA 2002-2448418 | 20020603   |
| EP 1397340            | A2   | 20040317 | EP 2002-734640  | 20020603   |
| R:                    | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |            |
| CN 1512983            | A  | 20040714 | CN 2002-811152  | 20020603   |
| BR 2002010912         | A  | 20040831 | BR 2002-10912   | 20020603   |
| JP 2004535422         | T2   | 20041125 | JP 2003-501840  | 20020603   |
| ZA 2003008392         | A  | 20050128 | ZA 2003-8392    | 20031028   |
| US 2004142999         | A1   | 20040722 | US 2003-719080  | 20031121   |
| PRIORITY APPL. INFO.: |  |          | US 2001-295301P | P 20010601 |
|                       |  |          | WO 2002-US17411 | W 20020603 |

OTHER SOURCE(S): MARPAT 138:24946  
GI



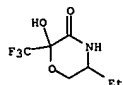
AB The invention relates to compds. R3C(X2)(X7)CO-X1 [X1 = NHC(R1)(R2)X3 or NHX4; X2 = H, F, OH, OR4, NHR15, or NR17R18; X7 = H or X2 = X7 = F; R3 = alkyl or CR62X6; X3 = cyano, CR7R8R16, CR6(OR6)2, CH2COR16, CH:CHSO2R5,

L6 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
ACCESSION NUMBER: 2001:830002 CAPLUS  
DOCUMENT NUMBER: 136:232254  
TITLE: A new route to butane-1,2-diacetals and the development of alternative substitution patterns to facilitate differential protection of the products  
AUTHOR(S): Ley, Steven V.; Michel, Patrick  
CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK  
SOURCE: Synlett (2001), (11), 1793-1795  
CODEN: SYNLES; ISSN: 0936-5214  
PUBLISHER: Georg Thieme Verlag  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 136:232254  
AB The utility of 2,3-dialkoxybutane-1,3-dienes as reagents for the protection of vicinal diols and α-hydroxy acids as their corresponding 1,2-diacetals is demonstrated together with their later deprotection under mild reaction conditions.  
IT 403670-53-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(new route to butane-1,2-diacetals and development of alternative substitution patterns to facilitate differential protection of products)  
RN 403670-53-1 CAPLUS  
CN 3-Morpholinone, 5,6-dimethoxy-2,5,6-trimethyl-, (2S,5S,6R)- (9CI) (CA INDEX NAME)  
Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 1998:289927 CAPLUS  
 DOCUMENT NUMBER: 128:294416  
 TITLE: Trifluoropyruvamides from isocyanides and trifluoroacetic anhydride  
 AUTHOR(S): El Kaïm, Laurent; Pinot-Perigord, Emmanuel  
 CORPORATE SOURCE: Laboratoire Reacteurs et Processus, Ecole Nationale Supérieure de Techniques Avancées, Paris, 75015, Fr.  
 SOURCE: Tetrahedron (1998), 54(15), 3799-3806  
 CODEN: TETRA; ISSN: 0040-4020  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 128:294416  
 AB Addition of trifluoroacetic anhydride to isocyanides, e.g. 4-ClC6H4CH2N=C:, proceeds smoothly to give trifluoropyruvamides such as 4-ClC6H4CH2NHCOC(OH)2CF3 in high yield after treatment with H2O or alcs.  
 IT 206057-79-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of trifluoropyruvamides by addition of trifluoroacetic anhydride to isocyanides)  
 RN 206057-79-6 CAPLUS  
 CN 3-Morpholinone, 5-ethyl-2-hydroxy-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

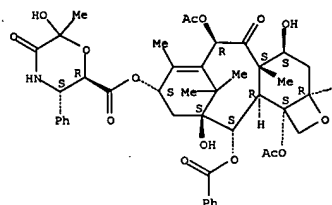


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L6 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

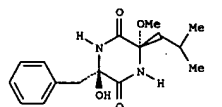
L6 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 1996:705638 CAPLUS  
 DOCUMENT NUMBER: 126:31504  
 TITLE: An Improved Method for Separating Paclitaxel and Cephalomannine Using Ozone and Girard Reagents  
 AUTHOR(S): Beckvermit, Jeff T.; Anziano, Dominick J.; Murray, Christopher K.  
 CORPORATE SOURCE: Synthetic Chemistry Research and Development Group, Hauser Chemical Research Inc., Boulder, CO, 80301,  
 USA  
 SOURCE: Journal of Organic Chemistry (1996), 61(25), 9038-9040  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The bulk drug, paclitaxel, a potent antitumor agent, is isolated from the bark of the pacific yew tree, Taxus brevifolia. Another naturally occurring taxane, cephalomannine, is difficult to sep. from paclitaxel due to structural similarities. However, cephalomannine can be selectively oxidized in the presence of paclitaxel using ozone. Subsequently, the oxidized cephalomannine can be separated from paclitaxel by conversion to a water soluble Girard hydrazone, followed by liquid/liquid extraction  
 All previously described methods for separation of paclitaxel and cephalomannine, or cephalomannine derivs., have required difficult and potentially expensive chromatog.  
 IT 157956-83-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (removal of cephalomannine from paclitaxel by oxidation and hydrazone formation)  
 RN 157956-83-7 CAPLUS  
 CN 2-Morpholinecarboxylic acid, 6-hydroxy-6-methyl-5-oxo-3-phenyl-, 6,12b-bis(acetyloxy)-12-(benzyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-1,2-yl ester, [2aR-(2aR,4B,4aR,6R,9a,9a(2R\*,3S\*),11a,12a,12aR,12bR)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



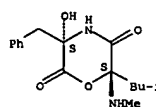
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 1996:678672 CAPLUS  
 DOCUMENT NUMBER: 126:4338  
 TITLE: Secondary mold metabolites. Part 52. Structure elucidation of diatretol. A new diketopiperazine metabolite from the fungus Clitocybe diatreta  
 AUTHOR(S): Arnone, Alberto; Capelli, Silvia; Nasini, Gianluca; Valdo Meille, Stefano; Vajna De Pava, Orso  
 CORPORATE SOURCE: Centro C.N.R. Sostanze Organiche Naturali, Milano, Milan, I-20131, Italy  
 SOURCE: Liebigs Annalen (1996), (11), 1875-1877  
 CODEN: LANAEM; ISSN: 0947-3440  
 PUBLISHER: VCH  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB In the culture broth of C. diatreta, a novel diketopiperazine metabolite, diatretol (I), was detected by chemical screening. The structure was established on the basis of 1H- and 13C-NMR data and single crystal x-ray anal. I exhibits a low antibacterial activity and inhibits the growth germination of Lepidium sativum and Bacillus. I was also isolated from Armillaria ectypa.  
 IT 145398-57-8, Metacytofilin  
 RL: PRP (Properties)  
 (mol. dimensions of)  
 RN 145398-57-8 CAPLUS  
 CN 2,5-Morpholinedione, 3-hydroxy-6-(methylamino)-6-(2-methylpropyl)-3-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

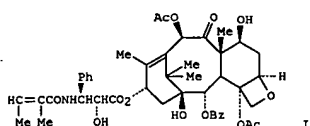




L6 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1994:595909 CAPLUS  
 DOCUMENT NUMBER: 121:195909  
 TITLE: Oxidation products of cephalomannine  
 INVENTOR(S): Murray, Christopher K.; Beckvermit, Jeffrey T.;  
 Ziesarth, Timothy D.  
 PATENT ASSIGNEE(S): Hauser Chemical Research, Inc., USA  
 SOURCE: U.S., 12 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| US 5336684   | A    | 19940809 | US 1993-53902   | 19930426   |
| CA 2161138   | AA   | 19941110 | CA 1994-2161138 | 19940425   |
| CA 2161138   | C    | 20060725 |                 |            |
| WO 9425449   | A1   | 19941110 | WO 1994-U64519  | 19940425   |
| W: AU, CA, JP  |      |          |                 |            |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |            |
| AU 9467735   | A1   | 19941121 | AU 1994-67735   | 19940425   |
| AU 685119  | B2   | 19980115 |                 |            |
| EP 696279  | A1   | 19960214 | EP 1994-915879  | 19940425   |
| EP 696279  | B1   | 19970326 |                 |            |
| R: DE, FR, GB  |      |          |                 |            |
| JP 08509733  | T2   | 19961015 | JP 1994-524451  | 19940425   |
| JP 3759602   | B2   | 20060329 |                 |            |
| PRIORITY APPLN. INFO.:   |      |          | US 1993-53902   | A 19930426 |
|  |      |          | WO 1994-US4519  | W 19940425 |

GI

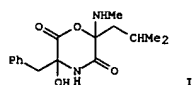


AB Antineoplastic taxol derivs. are derived by selective oxidation of the alkene portion of the side chain of cephalomannine (I). The derivs. display high activity in promoting assembly of microtubulin and also displays cytotoxic activity against malignant cells.  
 IT 157956-83-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (antineoplastic cephalomannine oxidation products)

L6 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1994:189882 CAPLUS  
 DOCUMENT NUMBER: 120:189882  
 TITLE: Novel immunosuppressing metacytofilin and its manufacture with Metarhizium species  
 INVENTOR(S): Ishizuka, Masaaki; Iijima, Masatoshi; Osanawa, Hiroshi;  
 Okami, Yoshiko; Maeda, Kenji; Takeuchi, Tomio  
 PATENT ASSIGNEE(S): Microbial Chemistry Research Foundation, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXKAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

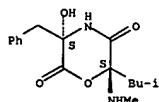
| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| JP 05310717            | A2   | 19931122 | JP 1991-313041  | 19911102 |
| PRIORITY APPLN. INFO.: |      |          | JP 1991-313041  | 19911102 |

GI



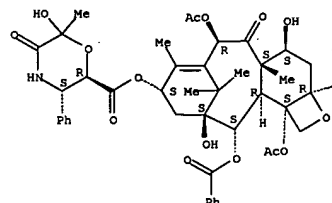
AB Immunosuppressing metacytofilin (I) is manufactured by cultivation of I-producing Metarhizium sp. Metarhizium sp. TA2759 (FERM P-12579) was shake-cultured in 10 L medium containing glucose, soluble starch, yeast extract, etc., at 27° for 4 days to manufacture 40 mg I, which at 100 µg/mL inhibited 56% interleukin 2-induced growth of Con A-treated T cell.  
 IT 145398-57-8P, Metacytofilin  
 RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation) (manufacture of, with Metarhizium, as immunosuppressant)  
 RN 145398-57-8 CAPLUS  
 CN 2,5-Morpholinedione, 3-hydroxy-6-(methylamino)-6-(2-methylpropyl)-3-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

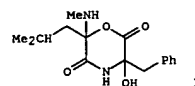


L6 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 157956-83-7 CAPLUS  
 CN 2-Morpholinecarboxylic acid, 6-hydroxy-6-methyl-5-oxo-3-phenyl-, 6,12b-bis(acetyloxy)-12-(benzyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, [2aR-[2aα,4B,4aB,6B,9a(2R\*,3S\*)],11a,12a,12aα,12ba]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

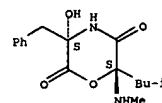


L6 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1993:55707 CAPLUS  
 DOCUMENT NUMBER: 118:55707  
 TITLE: Metacytofilin, a novel immunomodulator produced by Metarhizium sp. TA2759  
 AUTHOR(S): Iijima, Masatoshi; Masuda, Tooru; Nakamura, Hikaru; Naganawa, Hiroshi; Kurasawa, Shogo; Okami, Yoshiko; Ishizuka, Masaaki; Takeuchi, Tomio; Itaka, Yoichi  
 CORPORATE SOURCE: Inst. Chemotherapy, MCRF, Numazu, 410-03, Japan  
 SOURCE: Journal of Antibiotics (1992), 45(9), 1553-6  
 CODEN: JANTAJ; ISSN: 0021-8820  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

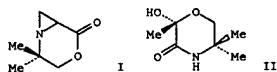


AB The production, isolation, physicochem. properties, structure and biol. activity of metacytofilin (I) are reported. The absolute configuration of I was not determined. Crystal data for I are given. I exhibited immunosuppressive activity in a mixed lymphocyte culture reaction and inhibited antibody formation in spleen cells.  
 IT 145398-57-8P, Metacytofilin  
 RL: PREP (Preparation) (structure and isolation and immunosuppressant activity of, from Metarhizium)  
 RN 145398-57-8 CAPLUS  
 CN 2,5-Morpholinedione, 3-hydroxy-6-(methylamino)-6-(2-methylpropyl)-3-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

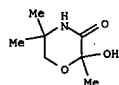
Relative stereochemistry.



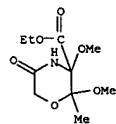
L6 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1988:528924 CAPLUS  
 DOCUMENT NUMBER: 109:128924  
 TITLE: Synthesis, spatial structure, and biological activity of 2-hydroxy-3-oxo-2,5,5-trimethylmorpholine  
 Krutius, O.; Ereemeev, A. V.; Mishnev, A. F.; Bleidelis, J.; Belyakov, S. V.; Odinet, A. G.; Berzina, M.; Berzina, D.; Kimenis, A.  
 CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR  
 SOURCE: Journal  
 Serija Latvijas PSR Zinatnu Akademijas Vestis, Kimijas  
 (1987), (6), 745-50  
 CODEN: LZAKAM; ISSN: 0002-3248  
 DOCUMENT TYPE: Russian  
 LANGUAGE: Russian  
 GI



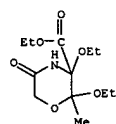
AB Reaction of Me 2,3-dibromopropionate with 2-amino-2-methyl-1-propanol gave  
 azaoxabicycloheptanone I and morpholinone II. The structure of II was determined by x-ray crystal anal. II has hepatoprotector and antitumor activity.  
 IT 53153-49-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation crystal structure, and antitumor and hepatoprotector activity of)  
 RN 53153-49-4 CAPLUS  
 CN 3-Morpholinone, 2-hydroxy-2,5,5-trimethyl- (9CI) (CA INDEX NAME)



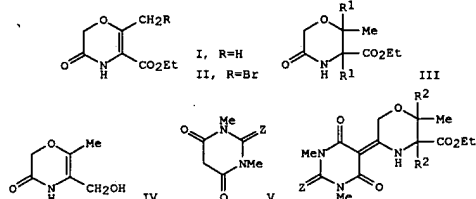
L6 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 83485-89-6 CAPLUS  
 CN 3-Morpholinecarboxylic acid, 2,3-diethoxy-2-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

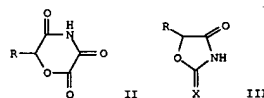


L6 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1982:582321 CAPLUS  
 DOCUMENT NUMBER: 97:182321  
 TITLE: Studies on the chemistry of 1,4-oxazines. VIII. Studies on the reactivity of ethyl 5,6-dihydro-2-methyl-5-oxo-4H-1,4-oxazine-3-carboxylate  
 Bartsch, Herbert; Haubold, Gerhard  
 CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Wien, Vienna, A-1090, Austria  
 SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1982), 315(9), 761-6  
 CODEN: ARPMAS; ISSN: 0365-6233  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 OTHER SOURCE(S): CASREACT 97:182321  
 GI

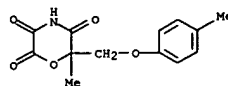


AB The reactions of the title compound (I) were studied. Bromination of I with NBS did not give the allyl bromide II but gave instead III (R1 = Br), characterized as the dialkoxy products III (R1 = MeO, EtO). Reduction of I with H2-Pd/C gave III (R1 = H); LiAlH4 reduction gave IV. Of several CH-acidic compds., only V (Z = O, S) condensed with I to give VI (R2R2 = bond). The structure of VI (R2R2 = bond, Z = O) was established by hydrogenation to VI (R2 = H, Z = O).  
 IT 83485-88-5P 83485-89-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 83485-88-5 CAPLUS  
 CN 3-Morpholinecarboxylic acid, 2,3-dimethoxy-2-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

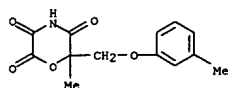
L6 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1976:180142 CAPLUS  
 DOCUMENT NUMBER: 84:180142  
 TITLE: Cyclization reactions of  $\alpha$ -hydroxy-imidates with oxalyl chloride and NN'-dicyclohexylcarbodi-imide  
 Butt, Mohammed I.; Mellson, Douglas G.; Watson, Kathleen; Hull, Roy  
 CORPORATE SOURCE: Dep. Chem., Univ. Dundee, Dundee, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1976), (5), 542-5  
 CODEN: JCFRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



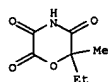
AB RCH2CMe(OH)C(:NH)OEt.HCl (I.HCl; R = 4-MeC6H4O, 3-MeC6H4O, Me) with (COCl)2 in CCl4 gave the morpholine triones II, whereas I with base and (COCl)2 gave mainly the oxazolidinones III (X = O) and small amts. of II. I.HCl (R = 4-, 3-MeC6H4O) reacted with R'N:C:NR' (R1 = cyclohexyl) in the presence of CuCl2 to give a mixture of N,N'-dicyclohexylureas, cyclohexylamine hydrochloride, and the oxazolidine imines III (X = NR1); the free bases did not react under similar conditions. Mechanisms for the reactions are proposed.  
 IT 59375-88-1P 59375-89-2P 59375-90-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 59375-88-1 CAPLUS  
 CN 2,3,5-Morpholinetrione, 6-methyl-6-[(4-methylphenoxy)methyl]- (9CI) (CA INDEX NAME)



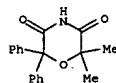
RN 59375-89-2 CAPLUS  
 CN 2,3,5-Morpholinetrione, 6-methyl-6-[(3-methylphenoxy)methyl]- (9CI) (CA INDEX NAME)



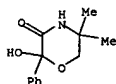
RN 59375-90-5 CAPLUS  
CN 2,3,5-Morpholinetrione, 6-ethyl-6-methyl- (9CI) (CA INDEX NAME)



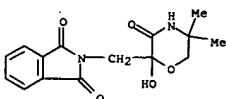
ACCESSION NUMBER: 1976:144577 CAPLUS  
DOCUMENT NUMBER: 84:144577  
TITLE: Synthesis and biological evaluation of substituted 2,2'-oxybis(propionic acid) derivatives and related compounds  
AUTHOR(S): Bennett, Gregory B.; Houlihan, William J.; Mason, Robert B.; Engstrom, Robert G.  
CORPORATE SOURCE: Med. Chem. Dep., Sandoz, Inc., East Hanover, NJ, USA  
SOURCE: Journal of Medicinal Chemistry (1976), 19(5), 709-14  
CODEN: JMCHAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB A series of 2,2'-oxybis(propionic acid) derivs., cyclic imides, and other analogs was prepared and hypolipidemic activity measured. The lipid-lowering activity of various 2,2,5,5-tetrasubstituted furan derivs. was also measured. No significant hypolipidemic activity was observed. Structure-activity relationships are discussed.  
IT 58607-31-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and hypolipidemic activity of)  
RN 58607-31-1 CAPLUS  
CN 3,5-Morpholinedione, 2,2-dimethyl-6,6-diphenyl- (9CI) (CA INDEX NAME)



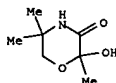
ACCESSION NUMBER: 1975:531511 CAPLUS  
DOCUMENT NUMBER: 83:131511  
TITLE: Adducts from acyl chlorides and 2-unsubstituted oxazolines. Formation and reactions  
AUTHOR(S): Golding, Bernard T.; Hall, David R.  
CORPORATE SOURCE: Dep. Mol. Sci., University of Warwick, Coventry, UK  
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (13), 1302-8  
CODEN: JCPRB4; ISSN: 0300-922X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 83:131511  
GI For diagram(s), see printed CA Issue.  
AB Acyl chlorides reacted with I (R = Me, R1 = H, R2 = CO2Et; R = H, R1 = R2 = Me) to give 1:1 adducts which then underwent reaction with bases or nucleophiles. Thus the adduct from I (R = H, R1 = R2 = Me) and R3CH2COCl (R3 = phthalimido) (II) reacted with anhydrous Et3N to give the corresponding adducts III and IV; the adduct from I (R = Me, R1 = H, R2 = CO2Et) and II reacted with wet Et3N to give the corresponding products R3CH2CONHCH(CO2Et)C(OR4)Me2 (R4 = H, CHO) and with MeOH-Et3N to give IV.  
IT 53153-50-7P 57624-84-7P  
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
RN 53153-50-7 CAPLUS  
CN 3-Morpholinone, 2-hydroxy-5,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



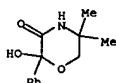
RN 57624-84-7 CAPLUS  
CN 1H-Isocindole-1,3(2H)-dione, 2-[(2-hydroxy-5,5-dimethyl-3-oxo-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1975:97361 CAPLUS  
DOCUMENT NUMBER: 82:97361  
TITLE: Photochemical reactivity of imino lactones. Photoreduction and photoelimination  
AUTHOR(S): Koch, Tad H.; Olesen, John A.; DeMiro, James  
CORPORATE SOURCE: Dep. Chem., Univ. Colorado, Boulder, CO, USA  
SOURCE: Journal of Organic Chemistry (1975), 40(1), 14-19  
CODEN: JOCEAH; ISSN: 0022-3263  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI For diagram(s), see printed CA Issue.  
AB The photochem. reactivity of 3 imino lactones (I; R = Me, Ph, Bu) is described. I (R = Me, Ph) are photostable with respect to the [2+2] photocycloaddn. reaction to the C-N double bond. I (R = Me) undergoes photoreductive dimerization in 2-propanol, I (R = Bu) photoeliminates propene to give I (R = Me), and I (R = Ph) is photostable. Possible mechanisms for the reductive dimerization and elimination reactions are discussed.  
IT 53153-49-4P 53153-50-7P  
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
RN 53153-49-4 CAPLUS  
CN 3-Morpholinone, 2-hydroxy-2,5,5-trimethyl- (9CI) (CA INDEX NAME)



RN 53153-50-7 CAPLUS  
CN 3-Morpholinone, 2-hydroxy-5,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

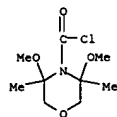


L6 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
ACCESSION NUMBER: 1967:85488 CAPLUS  
DOCUMENT NUMBER: 66:85488  
TITLE: Ether derivatives of carbamoyl halides  
INVENTOR(S): Koenig, Karl H.  
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik AG  
SOURCE: Ger., 3 pp.  
CODEN: GWXXAW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| DE 1232946 |      | 19670126 | DE 1964-875782  | 19640307 |

AB cf. CA 58, 8916b.  $\alpha$ -Halo-N,N-disubstituted carbamoyl halides R1R2CXN(COX)CR3R4Z (I) where R1-R4 are H, an alkyl, aryl, or a combination of these, Z is H, Br, or Cl, and X is Br or Cl, can react with alkali or alkaline earth alkoxides at -30 to +100° in an indifferent solvent to form the corresponding ether derivs. in which the acyl halogen is not affected. Thus, 144 parts 30% NaOMe in MeOH is added at -10 to 0° with stirring to 142 parts ClCH2NMeCOCl prepared according to Ger. 1,154,087 (see Belg. 620,028, CA 59, 115244). The temperature is raised to 40-50° and stirring is continued for 3 hrs. The NaCl which ppts. is filtered and the filtrate distilled to give 85% MeOCH2NMeCOCl, b15 62-8°, nd 1.445. Similarly prepared are the following derivs. of I (% yield, b.p./mm., and nd given): (MeOCH2)2NCOCl, 78, 98-103°/25, 1.439; (MeOCH2)2NCOBr, 76, 116-18°/29, 1.441; MeOCH2NMeCOBr, 78, 83-6°/19, 1.460; N-(3,5-dichloro-3,5-dimethylmorpholyl)carbamoylchloride 69, 126-9°/19-20, -; PrCHEtCH2OCH2NMeCOCl, 63, 139-42°/1.5, 1.445.

IT 5367-80-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 5367-80-6 CAPLUS  
CN 4-Morpholinecarbonyl chloride, 3,5-dimethoxy-3,5-dimethyl- (7CI, 8CI) (CA INDEX NAME)



L6 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
ACCESSION NUMBER: 1966:507656 CAPLUS  
DOCUMENT NUMBER: 65:107656  
ORIGINAL REFERENCE NO.: 65:20017a-e  
TITLE: New derivatives of chloramphenicol  
INVENTOR(S): Gapp, Fritz; Margreiter, Hans; Schmid, Ekkehard  
PATENT ASSIGNEE(S): Biochemie G.m.b.H.  
SOURCE: 11 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| AT 249031  |      | 19660825 | AT 1964-1810    | 19640302 |

PRIORITY APPLN. INFO.: AT 19640302

AB The primary OH-group of chloramphenicol reacts with isocyanatocarboxylic acid esters R1CH(N:CO)(CH2)XCO2R2 (I) to give (chloramphenicolcarbamido)carboxylic acid (A) esters. Thus, 160 ml. pyridine and 129 g. Et isocyanatoacetate were added to a suspension of 323 g. chloramphenicol in 11. ACOEt to give a clear solution After 40 hrs. at room temperature the precipitate was filtered off with suction, washed with ether, and dried to give 325 g. Et (chloramphenicolcarbamido)acetate (II), m. 138-40°. Addnl. 53.3 g. II were obtained from the filtrate after extraction of the pyridine with dilute HCl and concentration of the pyridine-free solution NaOH (2N, 115 ml.) was added dropwise to a suspension of 100 g. II in 300 ml. EtOH. After 10 hrs. at room temperature the clear solution was concentrated in vacuo, diluted with H2O, and acidified with diluted HCl to precipitate the acid. The precipitate was filtered off, washed with H2O and dried to give 80.7 g. (chloramphenicolcarbamido)acetic acid, m. 150-3°, Ca salt m. 160-5°, Na salt m. 120-30°, dibenzylamine salt m. 153-6°, dibenzylethylenediamine salt m. 112-16°. Similarly obtained were (isocyanatocarboxylic acid ester used, m.p. of the corresponding A acid ester, m.p. of the A acid, and salts given): Me L- $\alpha$ -isocyanato- $\gamma$ -methylmercaptobutyrate, 171-2.5°, 141-4°, -; Me DL- $\alpha$ -isocyanato- $\gamma$ -methylmercaptobutyrate, 115-40°, 132-6°, -; Me D- $\alpha$ -isocyanato- $\gamma$ -methylmercaptobutyrate, 145-6°, oil, -; Me L- $\alpha$ -isocyanatoisocaproate, 133-4°, 120-5°, -; Me DL- $\alpha$ -isocyanatoisocaproate, oil, oil, Na 145-8°; Me DL- $\alpha$ -isocyanatoisovalerate, oil, oil, Na 142-4°; Et DL- $\alpha$ -isocyanatopropionate, 160-2°, 203-6°, -; Me DL- $\alpha$ -isocyanato(phenyl)acetate, 176-7°, amorphous, Na 166-70°; di-Me L- $\alpha$ -isocyanatoglutarate, 151-2°, 117-25°, -; di-Me L- $\alpha$ -isocyanatosuccinate, 153-4°, oil, -; Me  $\epsilon$ -isocyanatocaproate, 104-6°, oil, -; Me L-isocyanatoisocaproate 133-4° (EtOH), 86-8° (EtOH-H2O), Na 135-40°, dibenzylamine salt m. 160-5°, dibenzylethylenediamine salt m. 198-201° (EtOH) Ca 173-6°; Me D-isocyanatoisocaproate 78-80° (EtOH-H2O), dibenzylamine 139-91°, dibenzylethylenediamine 183-5° (EtOH-H2O). The new derivs. give stable aqueous solns. or suspensions, their toxicity is lower

L6 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
ACCESSION NUMBER: 1966:507657 CAPLUS  
DOCUMENT NUMBER: 65:107657  
ORIGINAL REFERENCE NO.: 65:20017e-f  
TITLE: Methacrylic acid derivatives  
INVENTOR(S): Lonza Ltd.  
PATENT ASSIGNEE(S): Lonza Ltd.  
SOURCE: 12 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| NL 6601905 |      | 19660817 | NL 1966-1905    | 19660215 |

PRIORITY APPLN. INFO.: CH 19650216

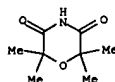
GI For diagram(s), see printed CA Issue.

AB The title compds. are prepared by treatment of I or its derivs. with mineral acids. Thus, to 10 g. I in 100 ml. MeOH and 10 g. CuSO4 was added dropwise 0.0826 mole H2SO4 and the mixture refluxed 1 hr. and distilled with steam to yield 42.6% ROME (through the abstract R = CH2:CHMeCO) and 8.7% ROH, while 35% solid II separated in the condenser. To 10 g. II was added 0.065 mole H2SO4 and 0.118 mole MeOH and the mixture heated 20 min. in an autoclave at 170° and refluxed 1 hr. to yield 79% ROME and 17% ROH. To 10 g. Me2C(C.tplbond.N)OCMe2CO2H was added 0.065 mole H2SO4 and 0.118 mole MeOH and the mixture heated 20 min. at 150° to yield 37.2% ROME and 14.5% ROH. Similar heating of O(CMe2CO2H) with H2SO4 and MeOH afforded 11.5% ROH and 11.1% ROME.

IT 10258-47-6, 3,5-Morpholinedione, 2,2,6,6-tetramethyl- (formation in manufacture of methacrylic acid and its Me ester)

RN 10258-47-6 CAPLUS

CN 3,5-Morpholinedione, 2,2,6,6-tetramethyl- (7CI, 8CI) (CA INDEX NAME)

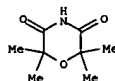


L6 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
than that of the Na salt of chloramphenicol monosuccinate. They split up in vivo and have a depot effect compared to chloramphenicol.

IT 10258-47-6, 3,5-Morpholinedione, 2,2,6,6-tetramethyl- (formation in manufacture of methacrylic acid and its Me ester)

RN 10258-47-6 CAPLUS

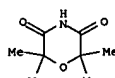
CN 3,5-Morpholinedione, 2,2,6,6-tetramethyl- (7CI, 8CI) (CA INDEX NAME)



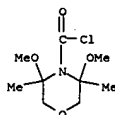
L6 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1966:403658 CAPLUS  
DOCUMENT NUMBER: 65:3658  
ORIGINAL REFERENCE NO.: 65:617e-g  
TITLE:  $\alpha$ -Nitrilo- $\alpha'$ -carboxydiisopropyl ether and  
its derivatives  
PATENT ASSIGNEE(S): Lonza Ltd.  
SOURCE: 14 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| NL 6507494             |      | 19651213 | NL 1965-7494    | 19650611 |
| PRIORITY APPLN. INFO.: |      |          | CH              | 19640612 |

GI For diagram(s), see printed CA Issue.  
AB The title compound (I) was prepared as the K or Na salt, by treating 4-nitroso-2,2,5,5-tetramethyl-3-oxotetrahydrofuran (II) in benzene or toluene with water in the presence of KOH or NaOH as catalyst. Further hydrolysis yields  $\alpha,\alpha'$ -dicarboxydiisopropyl ether (III). Operating with lower ams. or in the absence of catalyst yields IV, which could be also esterified. Operating in pyridine, in the presence of benzenesulfonylchloride yields V. Thus, equimol. ams. II and 25% KOH were refluxed 10-15 min., cooled, shaken with Et<sub>2</sub>O, and the aqueous phase slowly mixed with an equimol. amount HCl, while cooling, and extracted with Et<sub>2</sub>O. The extract was dried, and evaporated in the cold at slightly reduced pressure, to give raw I (yield 81%), which was recrystd. to give I, m. 72.5° (ligroine). Further refluxing of I until NH<sub>3</sub> formation ceased, and neutralization with 1 mole HCl, gave III (yield 80%), which recrystd. gave III, m. 158° (water or C<sub>6</sub>H<sub>6</sub>). II (98.8%) (0.0585 mole) in 40 g. toluene and 0.2 g. NaOH were refluxed 2 hrs., and evaporated in vacuo to give IV (yield 48%). Recrystn. from 1% HCl, gave another 37%  
IV. Benzenesulfonylchloride (0.22 mole) was added dropwise to a solution of 0.2 mole II in 100 g. pyridine at 80°, while stirring, to give V (yield 80.5%), m. 156° (Me<sub>2</sub>CO). I and III are used in the production of polyesters and polyamides, and IV and V in the production of formaldehyde resins.  
IT 10258-47-6, 3,5-Morpholinedione, 2,2,6,6-tetramethyl- (preparation of)  
RN 10258-47-6 CAPLUS  
CN 3,5-Morpholinedione, 2,2,6,6-tetramethyl- (7CI, 8CI) (CA INDEX NAME)



L6 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
dimethyl-  
(prepn. of)  
RN 5367-80-6 CAPLUS  
CN 4-Morpholinecarboxyl chloride, 3,5-dimethoxy-3,5-dimethyl- (7CI, 8CI)  
(CA INDEX NAME)

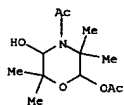


L6 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1966:11109 CAPLUS  
DOCUMENT NUMBER: 64:11109  
ORIGINAL REFERENCE NO.: 64:1972g-h, 1973a-c  
TITLE: Carbamoyl chlorides  
INVENTOR(S): Koenig, Karl H.; Pommer, Horst  
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik A.-G.  
SOURCE: 23 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

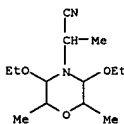
| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| BE 660727              |      | 19650906 | BE 1966-727     | 19650305 |
| FR 1432865             |      |          | FR              |          |
| NL 6502858             |      |          | NL              |          |
| PRIORITY APPLN. INFO.: |      |          | DE              | 19640307 |

AB The  $\alpha$ -halogen atom of halocarbamoyl halides (CA 59, 11524a) reacts with alics., mercaptans, or carboxylic acids in preference to the acyclic halogen. Thus, to 142 parts ClCH<sub>2</sub>NMeCOCl, cooled at -10-0°, 144 parts 30% NaOMe MeOH solution was added. The mixture was kept 3 hrs. at 40-50° and distilled to yield 52.5% MeOCH<sub>2</sub>NMeCOCl, b<sub>15</sub> 69-73°, n<sub>25D</sub> 1.451. Similarly, the following ROCH<sub>2</sub>NMeCOCl were prepared (R, n<sub>25D</sub>, and % yield given): Et, b<sub>25</sub> 93-5°, 1.447, 89; Pr, b<sub>16</sub> 98-100°, 1.445, 82; iso-Pr, b<sub>26</sub> 111-14°, 1.443, 84.5; Bu, b<sub>23</sub> 118-20°, 1.4483, --; iso-Bu, b<sub>22</sub> 114-16°, 1.4447, --; Me<sub>3</sub>, b<sub>18</sub> 110-11°, 1.440, --; CH<sub>2</sub>CH<sub>2</sub>Cl, b<sub>0</sub> 3 85-8°, 1.4774, --; CH<sub>2</sub>CH<sub>2</sub>MeCl, b<sub>0</sub> 3 81-4°, 1.471, --; CH<sub>2</sub>C.tplbond.CH, b<sub>2</sub> 83-4°, 1.4756, --; CHMeC.tplbond.CH, b<sub>0</sub> 5 65-7°, 1.4678, --; CMe<sub>2</sub>C.tplbond.CH, b<sub>0</sub> 5 67-8°, 1.4652, --; CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, b<sub>0</sub> 5 56-8°, 1.457, --; CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, b<sub>0</sub> 2 83-6°, 1.470, --; CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OMe, b<sub>0</sub> 3 63-4°, 1.452, --; CH<sub>2</sub>CH<sub>2</sub>OEt, b<sub>0</sub> 1 65-7°, 1.454, --; CH<sub>2</sub>CH<sub>2</sub>OPr, b<sub>0</sub> 2 69-71°, 1.457, --; CH(CH<sub>2</sub>Cl)<sub>2</sub>, b<sub>0</sub> 4 93-5°, 1.482, --; CH<sub>2</sub>CH<sub>2</sub>Br, b<sub>0</sub> 4 87-9°, 1.479, --; 2-ethylhexyl, b<sub>22</sub> 134-6°, 1.445, --; cyclohexyl, b<sub>26</sub> 121-3°, n<sub>25D</sub> 1.442, --; n-C<sub>13</sub>H<sub>27</sub>, b<sub>0</sub> 1 104-6°, 1.441, --; CH<sub>2</sub>CH<sub>2</sub>Ph, b<sub>0</sub> 3 97-8°, 1.472, --; CH<sub>2</sub>Cl<sub>3</sub>, b<sub>0</sub> 8 98-100°, 1.496, --; Ac, b<sub>23</sub> 114-16°, 1.457, 69; COEt, b<sub>1</sub> 92-4°, --, 62; COCH<sub>2</sub>Cl, b<sub>0</sub> 5 88-9°, --, 74; COCH<sub>2</sub>CH<sub>2</sub>, b<sub>0</sub> 3 86-8°, --, --; COCCl<sub>3</sub>, b<sub>0</sub> 3 109-10°, --, --. Also prepared were MeOCH<sub>2</sub>NMeCOBr, b<sub>19</sub> 83-6° n<sub>25D</sub> 1.460; (MeOCH<sub>2</sub>)<sub>2</sub>NCOCl, b<sub>25</sub> 98-103°, n<sub>25D</sub> 1.439; (MeOCH<sub>2</sub>)<sub>2</sub>NCOBr, b<sub>29</sub> 116-18°, n<sub>25D</sub> 1.441; (AcOCH<sub>2</sub>)<sub>2</sub>NCOCl, b<sub>0</sub> 8 93-5°, yield 63.5%; MeOCHMeNEtCOCl, b<sub>26</sub> 100-2° n<sub>25D</sub> 1.447; AcOCHMeNEtCOCl, b<sub>3</sub> 5 99-101°, n<sub>25D</sub> 1.458, yield 72%; AcSCH<sub>2</sub>NMeCOCl, b<sub>20</sub> 106-7°, MeSCH<sub>2</sub>NMeCOCl, b<sub>19</sub> 87-9°, b<sub>26</sub> 99-101°, yield 73%; Me<sub>2</sub>CHSCH<sub>2</sub>NMeCOCl; (MeSCH<sub>2</sub>)<sub>2</sub>NCOCl, b<sub>18</sub> 106-9°, yield 58%; PhCH<sub>2</sub>SCH<sub>2</sub>NMeCOCl, b<sub>0</sub> 1 100-1°; Cl<sub>2</sub>H<sub>2</sub>SSCH<sub>2</sub>NMeCOCl, b<sub>0</sub> 2 112-14°; the following carbamoyl chlorides were also prepared (substituents, and b.p. given): N-(3,5-dimethoxy-3,5-dimethylmorpholino), b<sub>19</sub>-20 126-9°, N- $\alpha$ -methoxymorpholino, b<sub>22</sub> 121-3°, N-( $\alpha$ -acetoxymorpholino), b<sub>0</sub> 3 94-6°; and N-( $\alpha$ -methylthiomorpholino), b<sub>0</sub> 5 97-8°; and N-( $\alpha$ -methoxypiperidino), b<sub>20</sub> 118-19° (n<sub>25D</sub> 1.450); N-( $\alpha$ -acetoxypiperidino), b<sub>0</sub> 1 89-90°, N-( $\alpha$ -methylpiperidino), b<sub>0</sub> 3 86-7°. The compds. are intermediates for the preparation of plant protection agents.  
IT 5367-80-6, 4-Morpholinecarboxyl chloride, 3,5-dimethoxy-3,5-

L6 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1964:38348 CAPLUS  
DOCUMENT NUMBER: 60:38348  
ORIGINAL REFERENCE NO.: 60:6732c-f  
TITLE:  $\alpha$ -Substituted aldehydes. XXIX. Favorskii rearrangement with chloroisobutanol  
Kirmann, Albert; Joschek, Hans Ingo  
CORPORATE SOURCE: Ecole Norm. Super., Paris  
SOURCE: Bulletin de la Societe Chimique de France (1963), (11), 2483-6  
CODEN: BSCFAS; ISSN: 0037-8968  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
AB cf. CA 59, 15279b. The rearrangements of  $\alpha$ -halo ketones to branched acids by basic reactants have been studied (Tchoubar, CA 50, 92831). Anionic migration of the functional H in halo aldehydes produces an unbranched  $\alpha$ -acid. The effects of the presence of alcohols and of NH<sub>3</sub> on Favorskii transpositions of  $\alpha$ -chloroisobutanol were investigated. A suspension of alkali alcoholate acted on the chloroisobutanol to form an isobutyric ester as well as the epoxy ether. A suspension of NaNH<sub>2</sub> caused the same rearrangement with the formation of isobutyramide. The same metallic amide in solution in liquid NH<sub>3</sub> led to a heterocyclic compound of the morpholine type. Expts. were made on  $\alpha$ -chloroisobutanol with NaOMe and LiOMe, iso-PrONa and tert-BuONa, with and without the presence of the corresponding alcohols, with NH<sub>4</sub>Cl, and with NaCl + NH<sub>3</sub> in the presence of liquid NH<sub>3</sub> and of ether. Products were analyzed by gas chromatography and by infrared spectrometry. The reaction of  $\alpha$ -chloroisobutanol with Na methylate by the method of Stevens (S., et al., CA 49, 8804d, S. and Gillis, CA 51, 16477a) yielded about 20% Me isobutyrate without alc. and only traces with alc. Me isobutyrate, b. 93°, was obtained in 23-g. yield by treating 7 g. Li suspended in 1 l. Bu<sub>2</sub>O with 70 g. chloroisobutanol in 100 cc. Bu<sub>2</sub>O at 0° and refluxing for 3 hrs. The yield of the isopropyl ester from 1.07 moles iso-PrONa and 1 mole aldehyde was about 20% without alc. and a trace with alc. In the latter case, 30 g. diisopropyl acetal of  $\alpha$ -hydroxyisobutanol b<sub>15</sub> 76-8°, n<sub>23</sub> 1.4140, was obtained. In all cases, the Favorskii rearrangement seemed to be linked to a heterogeneous reaction. It corresponded to the benzilic mechanism (T., loc. cit.). Negatively charged O formed by nucleophilic addition at the carbonyl group of the group B (either MeO- or NH<sub>2</sub>-) as well as the neg.  $\alpha$ -substituent, chlorine, was attracted by the pos. centers of the surface of the reactive solid containing cations. An anionic migration of H permits replacement of the Cl, with formation of R<sub>2</sub>CHCOB-. The same type of primary addition of the anion B at the carbonyl in a homogeneous medium permits favorable orientation of neg. O in an antiparallel position with respect to the Cl and the isolation of an epoxide for B = MeO-. With B = NH<sub>2</sub>- a more complex evolution leads to other deriva.  
IT 91691-33-7, 2,5-Morpholinediol, 4-acetyl-3,3,6,6-tetramethyl-, 2-acetate (preparation of)  
RN 91691-33-7 CAPLUS  
CN 2,5-Morpholinediol, 4-acetyl-3,3,6,6-tetramethyl-, 2-acetate (7CI) (CA INDEX NAME)



L6 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
(nematocide)  
RN 91973-05-6 CAPLUS  
CN 4-Morpholineacetoneitrile, 3,5-diethoxy- $\alpha$ ,2,6-trimethyl- (7CI) (CA INDEX NAME)



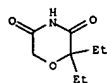
L6 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1963:477724 CAPLUS  
DOCUMENT NUMBER: 59:77724  
ORIGINAL REFERENCE NO.: 59:14515f-h, 14516a-b  
TITLE: Nematocides  
INVENTOR(S): Langdon, William K.; Levis, William W., Jr.  
PATENT ASSIGNEE(S): Wyandotte Chemicals Corp.  
SOURCE: 5 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 3104199             |      | 19630917 | US 1960-33636   | 19600603 |
| BE 627705              |      |          | BE              |          |
| FR 1365965             |      |          | FR              |          |
| NL 288611              |      |          | NL              |          |
| PRIORITY APPLN. INFO.: |      |          | US              | 19600603 |

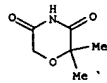
AB 2-Amino alkanonitriles (I), having at least 3 C atoms, were effective nematocides. These compds. can be divided into several sub-groups. The simplest members of the class of nematocidal agents are alkylsubstituted I, e.g.,  $\alpha$ -methyl- $\alpha$ -(methylamino)-propionitrile, which can be prepared by treating acetone cyano-hydrin with MeNH<sub>2</sub>. The second subgroup is the group of N- substituted poly(cyanoalkyl) alkylene polyamines, e.g., N,N'-bis(1-cyanoethyl)ethylenediamine, which can be prepared by treating lactonitrile with ethylenediamine. The third subgroup is N-substituted (cyanoalkyl) alkoxyalkylamines. N-(1-Cyano-ethyl)ethoxyethylamine can be prepared by treating lactonitrile with ethoxyethylamine. The fourth subgroup is  $\alpha$ -substituted piperazinealkanonitriles, e.g.,  $\alpha,\alpha,\alpha',\alpha'$ -pentamethyl-1,4-piperazinediacetonitrile, which can be prepared by treating acetone cyano-hydrin with 2-methylpiperazine. The fifth subgroup is  $\alpha$ -substituted morpholinealkanonitriles, e.g.,  $\alpha$ -methyl-4-morpholineacetoneitrile, which can be prepared by treating lactonitrile with morpholine. The sixth subgroup is  $\alpha$ -substituted aceto-nitrile derivs. of bis(2- or 3-aminoalkyl) ethers of poly(oxyalkylene)polyols, e.g., bis [N-(1-cyanoethyl)-3-aminopropyl] ether of polypropylene glycol which can be prepared by treating polypropylene glycol with acrylonitrile in the presence of a basic catalyst to produce a bis(cyanoethyl) ether of polypropylene glycol, catalytically hydrogenating the latter to produce a bis(3aminopropyl) ether of the polypropylene glycol, and treating the latter with lactonitrile to give the nematocidal agent. This compound has an average mol. weight of 400. The nematocidal agents can be utilized in any conventional manner, as in soil application by spraying, drenching, or dusting. Superior results were obtained in subsoil applications when the nematocidal agents were introduced into the soil to a depth of  $\frac{1}{16}$  in. These nematocidal agents can be embodied in dusts containing carrier or fillers, as well as in liquids, and can be applied together with fertilizers, insecticides, fungicides, and (or) herbicides.  
IT 91973-05-6, 4-Morpholineacetoneitrile, 3,5-diethoxy- $\alpha$ ,2,6-trimethyl-

L6 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1960:34276 CAPLUS  
DOCUMENT NUMBER: 54:34276  
ORIGINAL REFERENCE NO.: 54:6724e-1, 6725a  
TITLE: Some 2,2-disubstituted-3,5-morpholinediones  
AUTHOR(S): Skinner, Glenn S.; Bicking, John B.; Lovett, John R.  
CORPORATE SOURCE: Univ. of Delaware, Newark  
SOURCE: Journal of Organic Chemistry (1959), 24, 1587-8  
CODEN: JOCEAH; ISSN: 0022-3263  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
OTHER SOURCE(S): CASREACT 54:34276  
AB In general, the 3,5-morpholinediones were prepared from the suitably substituted esters of glycolic acid by converting them to diesters of diglycolic acid, then to the diamides or ammonium salts which were pyrolyzed to the substituted 3,5-morpholinediones. Preliminary pharmacol screening tests indicated that compds. with like substituents possess similar activities as hypnotics and anticonvulsants. NANH<sub>2</sub> (19.5 g.) in 300 cc. Et<sub>2</sub>O treated dropwise under reflux with 66 g. Et  $\alpha$ -hydroxyisobutyrate, refluxed 2 hrs., H<sub>2</sub>O added, the dried Et<sub>2</sub>O layer distilled, the 23 g. of product, b<sub>13</sub> 125-8°, dissolved in 25 cc. liquid NH<sub>3</sub> in 175 cc. alc., the solution heated 5 days at 70-80° in a pressure bottle, and the solution concentrated gave 15.4 g.  $\alpha,\alpha$ -dimethyldiglycolamide (I), m. 162-3° (alc.). I (14.3 g.) heated 0.5 hr. at 200°/60 mm., the temperature raised to 260°, and the mixture distilled at 20 mm. gave 6.3 g. 2,2-dimethyl-3,5-morpholinedione, m. 74-6° (C<sub>6</sub>H<sub>6</sub>-ligroine). NaH (2.4 g.) in 100 cc. C<sub>6</sub>H<sub>6</sub> treated during 25 min. with 16 g. Et  $\alpha$ -ethyl- $\alpha$ -hydroxybutyrate, stirred 40 min., 18.4 g. BrCH<sub>2</sub>CO<sub>2</sub>Et added dropwise, the mixture refluxed 2 hrs., H<sub>2</sub>O added, and the organic layer dried and distilled gave 9.2 g. oil, b<sub>22</sub> 152-7°. A total of 90.7 g. of this oil in 340 cc. hot HCl heated 16 hrs. on the steam bath gave 41.5 g.  $\alpha,\alpha$ -diethyldiglycolic acid (II), m. 146-8° (EtOAc). II (28.5 g.) in 90 cc. NH<sub>4</sub>OH evaporated to dryness, the salt heated 25 min. at 190° at 50 mm., the bath temperature raised to 210°, the pressure lowered to 14 mm., and the product distilled gave 10.4 g. 2,2-diethyl-3,5-morpholinedione, m. 62-3° (iso-PrOH-H<sub>2</sub>O). Ethylphenylhydroxyacetic acid (11.4 g.) refluxed 2.5 hrs. with 60 cc. MeOH containing 0.3 cc. H<sub>2</sub>SO<sub>4</sub>, the mixture treated with 50 cc. H<sub>2</sub>O and 50 cc. saturated NaHCO<sub>3</sub>, the solution saturated with NaCl, extracted with Et<sub>2</sub>O, and the aqueous layer worked up gave 11.1 g. Me ethylphenylhydroxyacetate (III), b<sub>0.9</sub> 86-8°, n<sub>D</sub><sup>25</sup> 1.5080. III (18.8 g.) added dropwise during 2 hrs. to 1.9 g. NaH in 200 cc. C<sub>6</sub>H<sub>6</sub> at room temperature, stirred 6.5 hrs., refluxed 1.5 hrs., at room temperature, treated with 13.4 g. BrCH<sub>2</sub>CO<sub>2</sub>Et, refluxed 1 hr., treated with 100 cc. H<sub>2</sub>O, neutralized, and the C<sub>6</sub>H<sub>6</sub> layer washed with NaHCO<sub>3</sub> gave 14.7 g. Me  $\alpha$ -ethyl- $\alpha$ -phenyl- $\alpha$ -carboxymethoxyacetate (IV), b<sub>0.7</sub> 133-5.5°, n<sub>D</sub><sup>25</sup> 1.4945. IV (4.2 g.) in 100 cc. MeOH saturated with dry NH<sub>3</sub> at -5° in a pressure bottle, left 1 week at 45-55°, and the solvent removed gave a quant. yield of  $\alpha$ -ethyl- $\alpha$ -phenyldiglycolamide (V), m. 175° (MeOH-Et<sub>2</sub>O) (decomposition). V was pyrolyzed at 210-20° to give an amber oil; this oil in hot MeOH treated with C. and the filtrate treated with H<sub>2</sub>O gave 0.67 g. 2-ethyl-2-phenyl-3,5-morpholinedione, m. 124-5° (MeOH-Et<sub>2</sub>O).  
IT 118767-3f-6, Diglycolimide,  $\alpha,\alpha$ -diethyl-118978-70-6, Diglycolimide,  $\alpha,\alpha$ -dimethyl- (preparation of)

L6 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
RN 118767-37-6 CAPLUS  
CN Diglycolimide,  $\alpha,\alpha$ -diethyl- (6CI) (CA INDEX NAME)

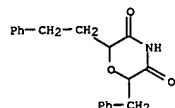


RN 118978-70-4 CAPLUS  
CN Diglycolimide,  $\alpha,\alpha$ -dimethyl- (6CI) (CA INDEX NAME)



L6 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
ACCESSION NUMBER: 1952:29597 CAPLUS  
DOCUMENT NUMBER: 46:29597  
ORIGINAL REFERENCE NO.: 46:5012g-1,5013a  
TITLE:  $\gamma$ -Phenyl- $\alpha$ -hydroxycrotonamide  
AUTHOR(S): Bougault, J.; Cordier, P.  
SOURCE: Bulletin de la Societe Chimique de France (1951)  
430-4  
CODEN: BSCFAS; ISSN: 0037-8968

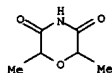
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
AB cf. C.A. 7, 3110; 20, 2673; 21, 3051. A correction. The products of the reaction of PhCH(OH)CONH<sub>2</sub> with cold NaOH solution are shown to be 6-phenyl-4-hydroxy-4-carbamyl-3-benzyl-2-oxohexanoic acid (I), PhCH<sub>2</sub>CH<sub>2</sub>CH(OH)(CONH<sub>2</sub>)CH(CH<sub>2</sub>Ph)COCO<sub>2</sub>H, and its diamide (II) instead of the previously reported PhCH<sub>2</sub>CH<sub>2</sub>CH(OH)(CONH<sub>2</sub>)OC(OH)(CO<sub>2</sub>H)CH<sub>2</sub>CH<sub>2</sub>Ph and its diamide. The reaction products of I with various reagents must be accordingly corrected. Thus, at 100° I loses 1 mol. H<sub>2</sub>O to give the lactone (III) which forms a thiosemicarbazone, m. 222°; I, II, and III heated in alkaline medium decompose into NH<sub>3</sub> and PhCH<sub>2</sub>CH<sub>2</sub>COCO<sub>2</sub>H (IV).  
I with KOH gives  $\alpha$ -hydroxy- $\alpha$ -phenethyl- $\beta$ -benzylsuccinimide (V), m. 120°, which on boiling with strong bases decompose into a mixture of IV and PhCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H. V with Na<sub>2</sub>CO<sub>3</sub> gives the succinamic acid which with Ac<sub>2</sub>O at 100° yields first  $\alpha$ -hydroxy- $\alpha$ -phenethyl- $\beta$ -benzylsuccinic anhydride, m. 104°, and then  $\alpha$ -phenethyl- $\beta$ -benzylmaleic anhydride, m. 75°. Treating I with HCl in AcOH gives both diastereoisomeric lactones, m. 120° (VI) and 82° (VII), resp., of the 6-phenyl-4-hydroxy-3-benzyl-2-oxohexanoic acid (VIII); VI with bases yields a mixture of PhCH<sub>2</sub>CH<sub>2</sub>CHO and IV, while VII forms an acid, m. 142° (probably VIII), which on heating rearranges to  $\alpha$ -phenethyl- $\beta$ -benzylsuccinic anhydride, m. 74°. All the substituted hydroxysuccinic anhydrides previously reported (cf. P. Cordier, C.A. 24, 4284) must be replaced by the corresponding maleic anhydride derivs.  
IT 854836-55-8, Diglycolimide,  $\alpha$ -benzyl- $\alpha'$ -phenethyl- (correction)  
RN 854836-55-8 CAPLUS  
CN Diglycolimide,  $\alpha$ -benzyl- $\alpha'$ -phenethyl- (SCI) (CA INDEX NAME)



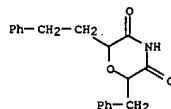
L6 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN  
ACCESSION NUMBER: 1935:30848 CAPLUS  
DOCUMENT NUMBER: 29:30848  
ORIGINAL REFERENCE NO.: 29:3982b-1,3983a-g  
TITLE: Dilactylic acids  
AUTHOR(S): Vieles, Pierre  
SOURCE: Ann. chim. [II] (1935), 3, 143-224  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
AB cf. C. A. 28, 3714.5, 5408.6. A detailed study has been made of the different varieties of dilactylic acid (I) in order to compare the properties and stability of the various isomers and to obtain the optically active modifications. The crude mixture of isomeric acids was prepared by the action of MeCH(ONa)CO<sub>2</sub>Et on MeCHBrCO<sub>2</sub>Et (II) according to the method of Jungfleisch and Godchot (C. A. 1, 2683). A solution of 245g. of freshly distilled MeCH(OH)CO<sub>2</sub>Et, [ $\alpha$ ]<sub>D</sub> -4.80°, in 300 g. of rigorously dried Et<sub>2</sub>O was added slowly to 46 g. of Na wire in a well-cooled flask provided with a Hg valve. At the end of the reaction, 262 g. of II, prepared from MeCHBrCO<sub>2</sub>Et (Ber. 20, 2026(1887)), in 200 g. of Et<sub>2</sub>O was added and the mixture was refluxed for 2 h. on the steam bath. The cooled mass was extracted with H<sub>2</sub>O and the dried Et<sub>2</sub>O layer was evaporated and distilled through a 1-m. Vigreux column, yielding, on redistn., 520 g. (75%) of crude di-Et dilactylate (III) which was saponified, acidified with H<sub>2</sub>SO<sub>4</sub> and extracted with Et<sub>2</sub>O, producing crude I from which pure (d + l)-acid (IV), m. 112°, crystallized out on standing. The crude acid was separated into IV and the inactive modification (V), m. about 70°, by crystallization of the Mg salt. It was shown that the excess of IV exists in the initial ester III. The tedious separation through the Mg salt was evaded by fractional crystallization of crude dilactylamide (VI) (Compt. rend. 145, 70(1905)) in EtOH which gave, in fine needles, the (d + l)-amide (VII), m. 184°, and the inactive form in rhombic platelets (VIII), m. 136°. Both forms gave IV on saponification with alkalis but, on hydrolysis with N H<sub>2</sub>SO<sub>4</sub>, the corresponding acids were obtained. Treatment of the 2 dilactylic esters, (d + l) and (i), with NH<sub>3</sub> gave VII and VIII. It was shown that VIII is totally isomerized by the action of alkalis. By heating with a 50% excess of PhNH<sub>2</sub> in a sealed tube at 170° for 12 h., III was converted into a mixture of crude dilactylanilides which, on recrystn. from EtOH, yielded (d + l)-dilactylanilide, m. 168°, and the inactive modification, m. 124-6°. Both gave IV on saponification with alkalis but yielded the corresponding acids on hydrolysis with H<sub>2</sub>SO<sub>4</sub>. Similarly were prepared the (d + l)- and (i)-p-toluides, m. 179-80° and 145°, with analogous properties. Attempts to sep. the 2 esters from III by fractional distillation, at 21 mm. failed on account of the limited range of b. p. of the 2 esters, (d + l), 124.5°, and (i), 128.5°. From the separation effected through the Mg salts and the amides it has been shown that the (d + l)-isomer is 5 times more abundant in III than the

L6 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
(i)-modification. Treatment of III or VI with 20% NaOH, neutralization with H<sub>2</sub>SO<sub>4</sub> and extrn. with Et<sub>2</sub>O gave IV, which, on heating with twice the theor. amt. of Ac<sub>2</sub>O, yielded the (d + l)-dilactylic acid anhydride, m. 36°, b<sub>2</sub> 108-9° d<sub>420</sub> 1.2106, n<sub>D20</sub> 1.44565, M. R. 31.70 (calcd. 31.30). Distn. of a mixt. of IV and PCl<sub>5</sub> or SOCl<sub>2</sub> gave (d + l)-dilactylic acid chloride, C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>CO<sub>2</sub>H, b<sub>20</sub> 85°, reconverted into IV by hydration or by atm. exposure. Treatment of the chloride with EtOH and MeOH produced the esters: Et, C<sub>10</sub>H<sub>18</sub>O<sub>6</sub>, b<sub>21</sub> 124.5°, d<sub>428</sub> 1.0283, n<sub>D28</sub> 1.4140, M. R. 53.12 (calcd. 53.96), and Me, C<sub>8</sub>H<sub>14</sub>O<sub>5</sub>, b<sub>21</sub> 113-14°, d<sub>428</sub> 1.0910, n<sub>D28</sub> 1.4157, M. R. 43.75 (calcd. 44.56). IV gave normal Na, K, NH<sub>4</sub> and Mg salts. By crystn. in org. solvents VII was spontaneously resolved into its optical antipodes, m. 208°, [ $\alpha$ ]<sub>Hg</sub>, +80°. With H<sub>2</sub>O, at low temps., a hydrated racemic complex is formed. On heating at 230-40°, VII was transformed by loss of NH<sub>3</sub> into the corresponding dilactylamide, C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>CONH<sub>2</sub>, m. 122° (C. A. 1, 2683). It has been shown that dilactylidamide in aq. soln. undergoes spontaneous resoln. and a detailed physico-chem. study has been made of this extremely distinct resoln. As a result it has been possible to prep. the active amides in reasonably large quantities and from them to produce, for the first time, the optically active acids and some of their derivs. It is also possible, by the use of strychnine, to resolve IV, provided sufficient recrystns. are made. The biochem. resoln. with the aid of Penicillium glaucum and Aspergillus niger was unsuccessful. Spontaneous resoln. gave VII, [ $\alpha$ ]<sub>D</sub> +90.22°, changed on heating at 225°, partially to the racemate, m. 184°, and partially to the imide which, under all conditions, proved to be inactive. VII yielded the active acids, m. 88° [a]<sub>D</sub> 17° d<sub>126</sub> 8°, rotatory dispersion  $\alpha$ / $\alpha$  0.891,  $\alpha$ / $\alpha$  1.725. The acid obtained has always the same sign as the generating amide. Treatment of the acid with Ac<sub>2</sub>O gives the corresponding anhydride (IX) with reversed sign, b<sub>20</sub> 108-10°, d<sub>420</sub> 1.2100, n<sub>D20</sub> 1.44549, [a]<sub>D</sub> 18.57°, rotatory dispersion  $\alpha$ / $\alpha$  0.90,  $\alpha$ / $\alpha$  1.26. The action of alc. on the active forms of IX gave the active Et esters, b<sub>20</sub> 123-4°, d<sub>428</sub> 1.0300, n<sub>D28</sub> 1.418, [a]<sub>D</sub> 109.27°,  $\alpha$ / $\alpha$  0.881,  $\alpha$ / $\alpha$  1.685. Active salts, C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>CO<sub>2</sub>Na, [a]<sub>D</sub> 84.1°, and C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>CO<sub>2</sub>SH<sub>2</sub>O, [a]<sub>D</sub> 20.71° with the same sign as the acids were prepd. From the relations between the signs of the active dilactylic acids and their derivs. and a consideration of the formulas of dilactylic anhydride and the dilactide it follows that the former is a trans deriv. and the latter a cis form. The passage of the acid to these 2 forms is accompanied by a strong augmentation of the rotatory power. Sapon. of VIII with 0.5 N H<sub>2</sub>SO<sub>4</sub> gave an acid, m. 60-5°, which was freed from traces of the accompanying (d + l)-isomers by refluxing for 4 h. with Ac<sub>2</sub>O and, after removal of the Ac<sub>2</sub>O, distg. for a short time at reduced pressure. Crystn. of the solidified residue from a mixt. of benzene and Et<sub>2</sub>O gave pure inactive dilactylic acid, m. 72-3°, which could not be converted into either the anhydride or the chloride since it was not attacked by SOCl<sub>2</sub>, gave tars on treatment with PCl<sub>5</sub> and decompd. on heating. Direct esterification of the acid yielded the Et ester, b<sub>21</sub> 128.5°, d<sub>428</sub> 1.0251, n<sub>D28</sub> 1.41892, M. R. 53.72 (calcd. 53.96). The normal Na, K and NH<sub>4</sub> salts of the inactive acid were prepd. The dilactylidamide and HgO gave a Hg deriv. regenerating the amide when treated with acids. On heating, the inactive dilactylidamide gives the (d + l)-dilactylimide but at a much

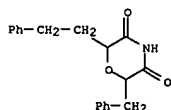
L6 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 lower temp. (180°) than the (d + 1) -amide. From a comparison of the (d + 1) and inactive dilactylic acids it would seem that the 2 CO<sub>2</sub>H groups of the (1) -acid are further apart than in the active modifications or at least in a position less favorable to cyclization. With the aid of the above facts plane formulas are proposed to represent the spatial configurations. Some of the exptl. results and generalizations may be applicable to the other homologs of diglycolic acid whose chem. study is yet little advanced.  
 IT 4430-01-7P, Dilactylimide  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 4430-01-7 CAPLUS  
 CN 3,5-Morpholinedione, 2,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



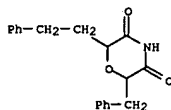
L6 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1926:21858 CAPLUS  
 DOCUMENT NUMBER: 20:21858  
 ORIGINAL REFERENCE NO.: 20:2673e-f  
 TITLE: A type of ether oxide of a ketone hydrate  
 AUTHOR(S): Bougault, J.  
 SOURCE: Compt. rend. (1926), 182, 1224-5  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 GI For diagram(s), see printed CA Issue.  
 AB cf. C. A. 19, 3265; 20, 1232, 1798, 2157. A correction. The formula of the imide prepared by KMnO<sub>4</sub> oxidation of the amino acid PhCH<sub>2</sub>CH<sub>2</sub>CH(OH)(CO<sub>2</sub>H)OC- (CH<sub>2</sub>CH<sub>2</sub>Ph)(OH)CONH<sub>2</sub> should be PhCH<sub>2</sub>CH<sub>2</sub>CH.CO.NH.CO.CH(O)CH<sub>2</sub>Ph instead of PhCH<sub>2</sub>CH<sub>2</sub>CH.CO.NH.CO.C(O)CH<sub>2</sub>Ph, the formulas of other derived compds. being correspondingly subject to correction.  
 IT 854836-55-8P, 3,5-Morpholinedione, 2-benzyl-6-phenethyl-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 854836-55-8 CAPLUS  
 CN Diglycolimide, α-benzyl-α'-phenethyl- (5CI) (CA INDEX NAME)



L6 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1926:21857 CAPLUS  
 DOCUMENT NUMBER: 20:21857  
 ORIGINAL REFERENCE NO.: 20:2673b-e  
 TITLE: Organic peroxides. X. Classification of the reactions of the diacyl peroxides. XI. Action of dibenzoyl peroxide on cyclohexane  
 AUTHOR(S): Gelissen, H.; Hermans, P. H.  
 SOURCE: Berichte der Deutschen Chemischen Gesellschaft (Abteilung) B: Abhandlungen (1926), 59B, 662-6  
 CODEN: BDCBAD; ISSN: 0365-9488  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 GI For diagram(s), see printed CA Issue.  
 AB cf. C. A. 20, 1611. The reactions of the diacyl peroxides may be classified into the following groups: 1. Pyrogenic decomposition with elimination of 2 mols. CO<sub>2</sub>: (RCO)<sub>2</sub>O<sub>2</sub> → 2CO<sub>2</sub> + R<sub>2</sub>; this reaction takes place when the peroxide is heated alone or in a solvent above its m.  
 p. 2. Reactions according to the R. H. scheme with elimination of 1 mol. CO<sub>2</sub> and participation of the solvent: (see structure). 3. Reactions in which a sym. cleavage of the O bridge, without elimination of CO<sub>2</sub>, occurs:  
 (RCO)<sub>2</sub>O<sub>2</sub> + 2H → 2RCO<sub>2</sub>H (hydrogenation, action of secondary amines and of substances sensitive to dehydrogenation, of Grignard reagents and of alkali halides). 4. Reactions in which the diacyl peroxides act like acid anhydrides: (RCO)<sub>2</sub>O<sub>3</sub> + R'NH<sub>2</sub> (or HOH) → RCO<sub>2</sub>OH + R'NHCOR (or RCO<sub>2</sub>H) (action with H<sub>2</sub>O, bases, primary amines, alcs. (in the cold), etc.). Naturally, 2 or more of the above types of reactions may occur simultaneously. A new reaction according to the R. H. scheme and further illuminating the general validity of the scheme is reported. Bz<sub>2</sub>O<sub>2</sub> (60.5 g.) refluxed in 150 g. dry cyclohexane dissolves and evolves CO<sub>2</sub> for 22 hrs.; distillation now gives 134.0 g. distillate and 63.0 g. residue.  
 From the residue are obtained 5 g. phenylcyclohexane, b<sub>17</sub> 80°, b<sub>760</sub> 239°, solidifies 7°, n<sub>D</sub>18 1.5274, 5.2 g. BzOH and about 50 g. of a viscous yellow mass non-volatile with steam from which was isolated about 5 g. of p-PhC<sub>6</sub>CO<sub>2</sub>H. The distillate yielded 4.6 g. C<sub>6</sub>H<sub>6</sub> (isolated as PhNO<sub>2</sub>).  
 IT 854836-55-8P, 3,5-Morpholinedione, 2-benzyl-6-phenethyl-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 854836-55-8 CAPLUS  
 CN Diglycolimide, α-benzyl-α'-phenethyl- (5CI) (CA INDEX NAME)



L6 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1925:25066 CAPLUS  
 DOCUMENT NUMBER: 19:25066  
 ORIGINAL REFERENCE NO.: 19:3265b-f  
 TITLE: Phenyl-α-hydroxycrotonamide. An example of the ether of ketone hydrate  
 AUTHOR(S): Bougault, J.  
 SOURCE: Compt. rend. (1925), 180, 1944-6  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 GI For diagram(s), see printed CA Issue.  
 AB cf. C. A. 7, 1486. The product of the action of soda on phenyl-α-hydroxycrotonic amide is the amido acid, PhCH<sub>2</sub>CH<sub>2</sub>CH(OH)(CO<sub>2</sub>H)OC(CH<sub>2</sub>CH<sub>2</sub>Ph)(OH)CONH<sub>2</sub>, containing an ether grouping as a result of the dehydration between the hydroxyls of the ketone hydrate group. With KMnO<sub>4</sub> it gives an imide (I) and CO<sub>2</sub>. The reaction is very complex, involving a change in the linkage of the C atoms. I m. 120° and on prolonged boiling with soda, is decomposed into PhCH<sub>2</sub>CH<sub>2</sub>CH(CO<sub>2</sub>H), PhCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H and NH<sub>3</sub>. When dissolved in hot Na<sub>2</sub>CO<sub>3</sub> until there is no turbidity upon cooling, I is hydrolyzed to the corresponding amido acid, (II) or (III), m. 170°. If the hydrolysis is continued with NaOH, the product is the dibasic acid IV, m. 204°. This action is reversible. IV when heated with Ac<sub>2</sub>O for several min. at 100°, gives an anhydride m. 104° and regenerates IV with alkalis. If the heating with Ac<sub>2</sub>O is prolonged for several hrs., there is obtained a different anhydride (V) or (VI), m. 75°, isomeric with the first, insol. in cold aqueous Na<sub>2</sub>CO<sub>3</sub> and slightly acid; dissolved in weak NaOH and acidified with HCl, it regenerates the anhydride itself and not the IV. The Me ester m. 53° and, upon saponification again yields the anhydride in large part. Na-Hg is without action upon IV, while it reduces the anhydride, yielding a new dibasic acid PhCH<sub>2</sub>CH<sub>2</sub>CH(CO<sub>2</sub>H)CH(CH<sub>2</sub>Ph)CO<sub>2</sub>H, m. 170°. IT 854836-55-8P, 3,5-Morpholinedione, 2-benzyl-6-phenethyl-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 854836-55-8 CAPLUS  
 CN Diglycolimide, α-benzyl-α'-phenethyl- (5CI) (CA INDEX NAME)





L6 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1907:11115 CAPLUS

DOCUMENT NUMBER: 1:11115

ORIGINAL REFERENCE NO.: 1:2683h-1,2684a-e

TITLE: On Diglycollic Acid and its Homologues

AUTHOR(S): Jungfleisch, E.; Godchot, M.

SOURCE: Compt. rend. (1907), 145, 70-73

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB Diethyl diglycolate,  $O(CH_2CO_2C_2H_5)_2$ , was prepared by treating the sodium salt of ethyl glycolate with ethyl chloracetate in anhydrous ether; b20 129-130°. Diethyl Methylidiglycolate,  $C_2H_3.O_2C.CH(CH_2)CO_2C_2H_5$ , from the sodium salt of ethyl lactate and ethyl chloracetate, or the sodium salt of ethyl glycolate and ethyl  $\alpha$ -bromopropionate, b20 122-125°, D20 1.0743; insoluble in water. Methylidiglycollic acid,  $HO_2C.CH_2OCH(CH_3)CO_2H$ , m. 30°. Very soluble in ether and alcohol, difficultly soluble in benzene; very hygroscopic. The alkali and alkali earth salts are very soluble in water and insoluble in alcohol. When the acid was distilled, it was converted into its cyclo-anhydride, b23 122° 125°, D20 1.2729. Treatment with water regenerates the acid. The anhydride reacts with ammonia at ordinary temperature giving the amide of methylidiglycollic acid,  $NH_2COCH_2.O.CH(CH_3)CONH_2$ , which crystallizes from a mixture of alcohol and acetone in small prisms, m. 126°; very soluble in water. When heated at 150°, ammonia was evolved and the amide derivative, obtained. Amide of Dilactic acid,  $O(CH(CH_3)CONH_2)_2$ , m. 156°, easily soluble in water and alcohol, difficultly soluble in ether and benzene. Imide, crystallized from benzene in prismatic crystals, m. 123°, soluble in water and alcohol, insoluble in ether.

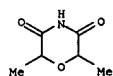
IT 4430-01-7P, Dilactylimide

RL: PREP (Preparation)

(preparation of)

RN 4430-01-7 CAPLUS

CN 3,5-Morpholinedione, 2,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)



=> FIL STNGUIDE  
COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 183.91     | 362.24  |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| -26.25     | -26.25  |

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| 0.12       | 362.36  |

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| ENTRY      | SESSION |
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